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FILE COVERS 1907 - 23 Nov 2004 VOL 141 ISS 22
FILE LAST UPDATED: 22 Nov 2004 (20041122/ED)

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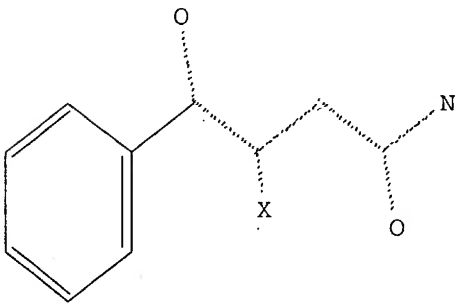
=> d que
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L3 111 SEA FILE=REGISTRY SSS FUL L1
L4 29 SEA FILE=CAPLUS L3

=> d l4 1-29 ibib abs hitstr

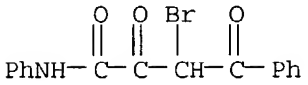
L4 ANSWER 1 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:308781 CAPLUS
DOCUMENT NUMBER: 140:52730
TITLE: Substituted amides and hydrazides of acylpyruvic acids. Part 9. Synthesis, antimicrobial and analgesic activity of substituted 4-aryl-3-halogen-2,4-dioxobutanoic acid amides
AUTHOR(S): Koz'minykh, E. N.; Belyaev, A. O.; Berezina, E. S.; Koz'minykh, V. O.; Makhmudov, R. R.; Odegova, T. F.
CORPORATE SOURCE: Perm State Pharmaceutical Academy, Perm, Russia
SOURCE: Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (2002), 36(12), 643-646
CODEN: PCJOAU; ISSN: 0091-150X
PUBLISHER: Kluwer Academic/Consultants Bureau

DOCUMENT TYPE: Journal
LANGUAGE: English

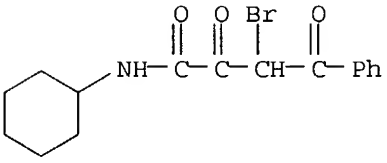
AB Substituted aroylpyruvic acid amides were reacted with bromine and chlorine under mild conditions to obtain a series of new 4-aryl-3-halogen-2,4-dioxobutanoic acid amides. The proposed structures of these compds. were confirmed by the results of IR and 1H NMR spectroscopy measurements. All synthesized compds. exhibited antimicrobial and analgesic properties. The most pronounced effect was observed for 3-chlorosubstituted derivs.

IT **66286-56-4P 638212-38-1P 638212-39-2P**
638212-40-5P 638212-41-6P 638212-42-7P
638212-43-8P 638212-44-9P 638212-45-0P
638212-46-1P 638212-47-2P 638212-48-3P
638212-49-4P 638212-50-7P 638212-51-8P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, antimicrobial and analgesic activity of substituted 4-aryl-3-halogen-2,4-dioxobutanoic acid amides)

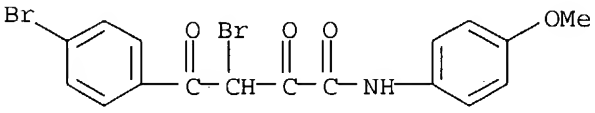
RN 66286-56-4 CAPLUS
CN Benzenebutanamide, β-bromo-α,γ-dioxo-N-phenyl- (9CI) (CA INDEX NAME)



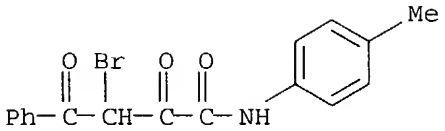
RN 638212-38-1 CAPLUS
CN Benzenebutanamide, β-bromo-N-cyclohexyl-α,γ-dioxo- (9CI) (CA INDEX NAME)



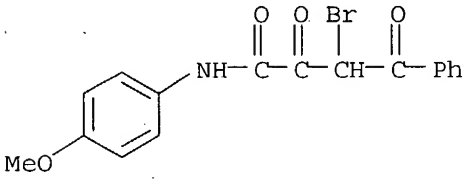
RN 638212-39-2 CAPLUS
CN Benzenebutanamide, β,4-dibromo-N-(4-methoxyphenyl)-α,γ-dioxo- (9CI) (CA INDEX NAME)



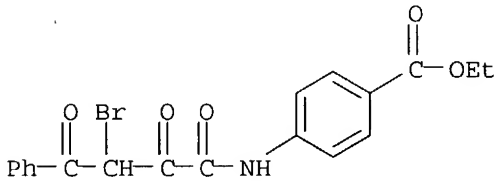
RN 638212-40-5 CAPLUS
CN Benzenebutanamide, β-bromo-N-(4-methylphenyl)-α,γ-dioxo- (9CI) (CA INDEX NAME)



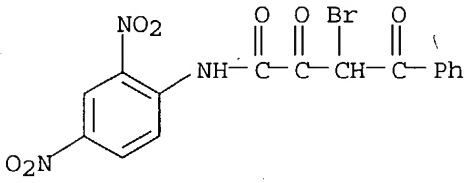
RN 638212-41-6 CAPLUS
CN Benzenebutanamide, β-bromo-N-(4-methoxyphenyl)-α,γ-dioxo- (9CI) (CA INDEX NAME)



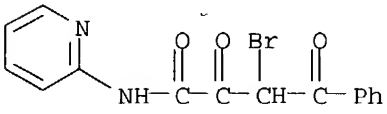
RN 638212-42-7 CAPLUS
CN Benzoic acid, 4-[(3-bromo-1,2,4-trioxo-4-phenylbutyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



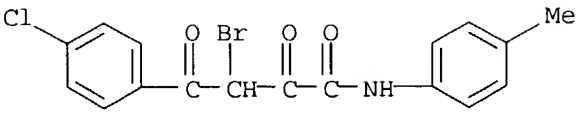
RN 638212-43-8 CAPLUS
CN Benzenebutanamide, β-bromo-N-(2,4-dinitrophenyl)-α,γ-dioxo- (9CI) (CA INDEX NAME)



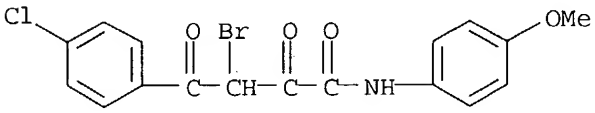
RN 638212-44-9 CAPLUS
CN Benzenebutanamide, β-bromo-α,γ-dioxo-N-2-pyridinyl- (9CI) (CA INDEX NAME)



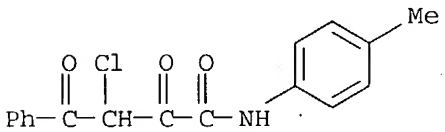
RN 638212-45-0 CAPLUS
CN Benzenebutanamide, β-bromo-4-chloro-N-(4-methylphenyl)-α,γ-dioxo- (9CI) (CA INDEX NAME)



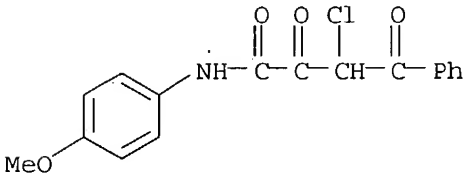
RN 638212-46-1 CAPLUS
CN Benzenebutanamide, β-bromo-4-chloro-N-(4-methoxyphenyl)-α,γ-dioxo- (9CI) (CA INDEX NAME)



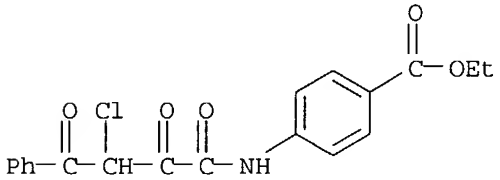
RN 638212-47-2 CAPLUS
CN Benzenebutanamide, β-chloro-N-(4-methylphenyl)-α,γ-dioxo- (9CI) (CA INDEX NAME)



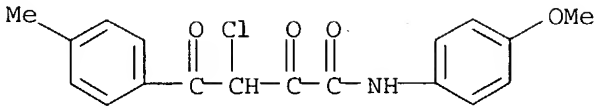
RN 638212-48-3 CAPLUS
CN Benzenebutanamide, β-chloro-N-(4-methoxyphenyl)-α,γ-dioxo- (9CI) (CA INDEX NAME)



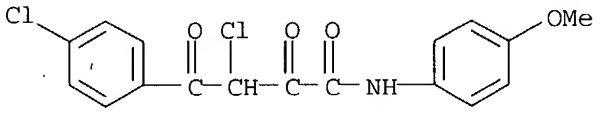
RN 638212-49-4 CAPLUS
CN Benzoic acid, 4-[(3-chloro-1,2,4-trioxo-4-phenylbutyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 638212-50-7 CAPLUS
CN Benzenebutanamide, β-chloro-N-(4-methoxyphenyl)-4-methyl-α,γ-dioxo- (9CI) (CA INDEX NAME)

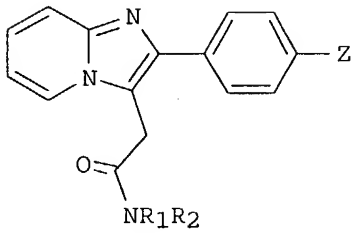


RN 638212-51-8 CAPLUS
CN Benzenebutanamide, β,4-dichloro-N-(4-methoxyphenyl)-α,γ-dioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:552163 CAPLUS
DOCUMENT NUMBER: 131:299405
TITLE: Novel 2-phenylimidazo[1,2-a]pyridine derivatives as potent and selective ligands for peripheral benzodiazepine receptors: synthesis, binding affinity, and in vivo studies
AUTHOR(S): Trapani, Giuseppe; Franco, Massimo; Latrofa, Andrea; Ricciardi, Laura; Carotti, Angelo; Serra, Mariangela; Sanna, Enrico; Biggio, Giovanni; Liso, Gaetano
CORPORATE SOURCE: Dipartimento Farmaco-Chimico Facolta di Farmacia, Universita degli Studi di Bari, Bari, 70125, Italy
SOURCE: Journal of Medicinal Chemistry (1999), 42(19), 3934-3941
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:299405
GI



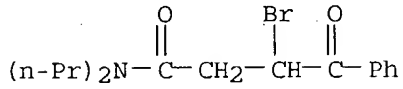
I

AB The substituent effects at positions 6 and 8 (compds. I (X = CO2Me, CONH2, H, Y = H, Me, NO2, OMe, Cl, NH2, NHMe, NHAc, Z = H, Cl, R1 = R2 = Pr)) as well as at the amide nitrogen (compds. I (X, Y, Z = H, Cl, R1 = R2 = Et, Pr, Bu, hexyl; R1 = Pr, Bu, R2 = H)) of a series of 2-phenylimidazo[1,2-a]pyridineacetamides were evaluated at both central (CBR) and peripheral (PBR) benzodiazepine receptors. The structure-activity relationship studies detailed herein indicate the key structural features required for high affinity and selectivity for PBR. Substitution on the

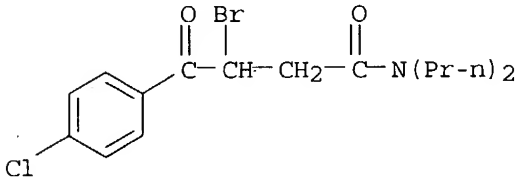
imidazopyridine nucleus at position 8 with lipophilic substituents and the presence of one chlorine atom at the para position of the Ph ring at C(2) are crucial features for high binding affinity and selectivity toward PBR. A small subset of active ligands were evaluated in vitro in Xenopus oocytes expressing cloned human GABAA receptors for their effects at CBR and in vivo for their ability to stimulate the synthesis of neurosteroids such as pregnenolone, progesterone, allopregnanolone, and allotetrahydrodeoxycorticosterone (THDOC). I (X = CO2Me, Y = Z = H, R1 = R2 = Pr; X = H, Y = Me, Z= Cl, R1 = R2 = Pr; X = H, Y = Z = Cl, R1 = R2 = Pr; X = Y = Z = H, R1 = R2 = Bu) markedly increased the levels of neuroactive steroids in plasma and cerebral cortex, unlike I (X = Y = H, Z = Cl, R1 = R2 = Bu).

IT **193979-81-6 193979-87-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation, benzodiazepine receptor affinity, and structure-activity relationship of phenylimidazopyridine ligands)

RN 193979-81-6 CAPLUS
CN Benzenebutanamide, β-bromo-γ-oxo-N,N-dipropyl- (9CI) (CA INDEX NAME)

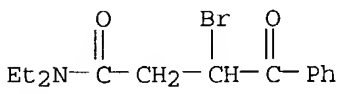


RN 193979-87-2 CAPLUS
CN Benzenebutanamide, β-bromo-4-chloro-γ-oxo-N,N-dipropyl- (9CI) (CA INDEX NAME)

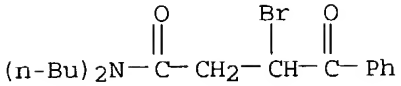


IT **247085-30-9P 247085-31-0P 247085-32-1P**
247085-33-2P 247085-34-3P 247085-35-4P
247085-36-5P 247085-37-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, benzodiazepine receptor affinity, and structure-activity relationship of phenylimidazopyridine ligands)

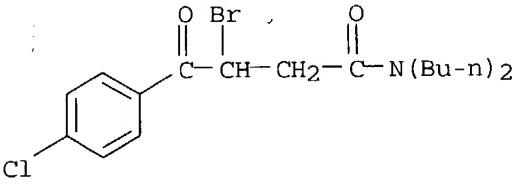
RN 247085-30-9 CAPLUS
CN Benzenebutanamide, β-bromo-N,N-diethyl-γ-oxo- (9CI) (CA INDEX NAME)



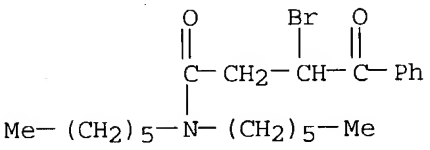
RN 247085-31-0 CAPLUS
CN Benzenebutanamide, β-bromo-N,N-dibutyl-γ-oxo- (9CI) (CA INDEX NAME)



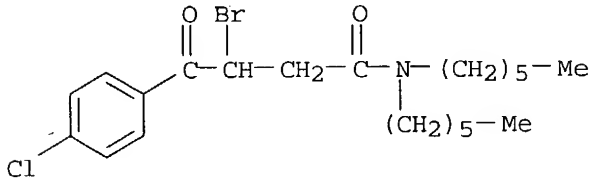
RN 247085-32-1 CAPLUS
CN Benzenebutanamide, β-bromo-N,N-dibutyl-4-chloro-γ-oxo- (9CI) (CA INDEX NAME)



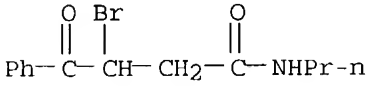
RN 247085-33-2 CAPLUS
CN Benzenebutanamide, β-bromo-N,N-dihexyl-γ-oxo- (9CI) (CA INDEX NAME)



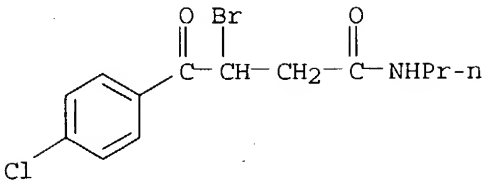
RN 247085-34-3 CAPLUS
CN Benzenebutanamide, β-bromo-4-chloro-N,N-dihexyl-γ-oxo- (9CI) (CA INDEX NAME)



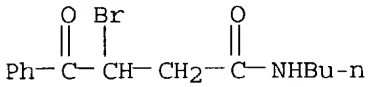
RN 247085-35-4 CAPLUS
CN Benzenebutanamide, β-bromo-γ-oxo-N-propyl- (9CI) (CA INDEX NAME)



RN 247085-36-5 CAPLUS
CN Benzenebutanamide, β-bromo-4-chloro-γ-oxo-N-propyl- (9CI) (CA INDEX NAME)



RN 247085-37-6 CAPLUS
CN Benzenebutanamide, β-bromo-N-butyl-γ-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

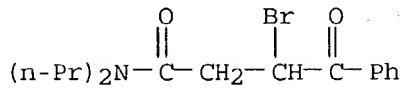
L4 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:564963 CAPLUS
DOCUMENT NUMBER: 127:176379
TITLE: Synthesis and Binding Affinity of 2-Phenylimidazo[1,2-a]pyridine Derivatives for both Central and Peripheral Benzodiazepine Receptors. A New Series of High-Affinity and Selective Ligands for the Peripheral Type
AUTHOR(S): Trapani, Giuseppe; Franco, Massimo; Ricciardi, Laura; Latrofa, Andrea; Genchi, Giuseppe; Sanna, Enrico; Tuveri, Francesca; Cagetti, Elisabetta; Biggio, Giovanni; Liso, Gaetano
CORPORATE SOURCE: Dipartimento Farmaco-Chimico and Farmaco-Biologico Facolta di Farmacia, Universita degli Studi di Bari, Bari, 70125, Italy
SOURCE: Journal of Medicinal Chemistry (1997), 40(19), 3109-3118
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A number of 6-substituted or 6,8-disubstituted alkyl 2-phenylimidazo[1,2-a]pyridine-3-carboxylates, -acetates, and -propionates and a number of N,N-dialkyl-2-phenylimidazo[1,2-a]pyridine-3-carboxamides, -acetamides, or -propionamides were prepared following new synthetic methods, and their affinities for both the central (CBR) and the peripheral (PBR) benzodiazepine receptors evaluated. The compds. of the ester series displayed low affinity for both receptor types. Conversely, most of N,N-dialkyl(2-phenylimidazo[1,2-a]pyridin-3-yl)acetamides proved to possess high affinity and selectivity for CBR or PBR depending on the nature of substituents at C(6) and/or C(8) on the heterocyclic ring system. In particular, the 6-substituted compds. displayed ratios of IC50 values [IC50(CBR)/IC50(PBR)] ranging from 0.32 to 232, while the 6,8-disubstituted compds. were more than 1000-fold more selective for PBR vs. CBR. The actions of these compds. were also tested on α2β2γ2s receptors. However, the EC50 of these compds. was increased, compared to α1β2γ2s receptors, by 30-, 4-, and 5-fold. Finally, these compds. were almost completely devoid of activity at receptors containing the α5 subunit.

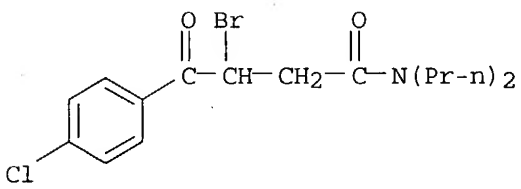
IT **193979-81-6P 193979-87-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and binding affinity of phenylimidazopyridines for both central and peripheral benzodiazepine receptors)

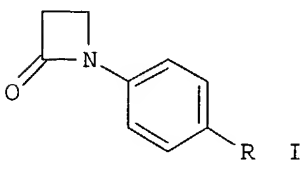
RN 193979-81-6 CAPLUS
CN Benzenebutanamide, β-bromo-γ-oxo-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 193979-87-2 CAPLUS
CN Benzenebutanamide, β-bromo-4-chloro-γ-oxo-N,N-dipropyl- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:114667 CAPLUS
DOCUMENT NUMBER: 122:81024
TITLE: Synthesis of 1-arylazetidin-2-ones using calixarenes as phase-transfer catalysts
AUTHOR(S): Harris, Stephen J.; Kinahan, Audrey M.; Meegan, Mary J.; Prendergast, Rhona C.
CORPORATE SOURCE: Sch. Chem. Sci., Dublin City Univ., Dublin, 9, Ire.
SOURCE: Journal of Chemical Research, Synopses (1994), (9), 342-3
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:81024
GI

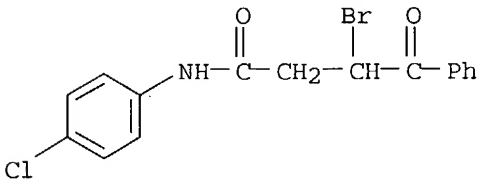


AB The cyclodehydrohalogenation of 3-halo-N-phenylpropanamides using calix[4]arenes as phase-transfer catalysts was studied. Thus, 2-azetidinones I (R = H, alkyl, halo) were formed in higher yields than those obtained with 18-crown-6 as phase-transfer catalyst.

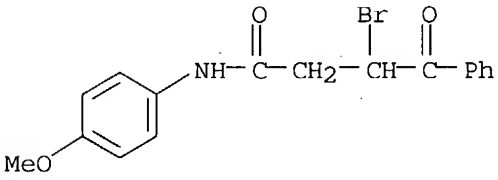
IT **74457-64-0**, Benzenebutanamide, β-bromo-N-(4-chlorophenyl)-γ-oxo **79353-64-3**, Benzenebutanamide, β-bromo-N-(4-

methoxyphenyl)-γ-oxo
RL: RCT (Reactant); RACT (Reactant or reagent)
(calix[4]arene-catalyzed dehdrohalogenation of 3-halo-N-arylalkanamides)

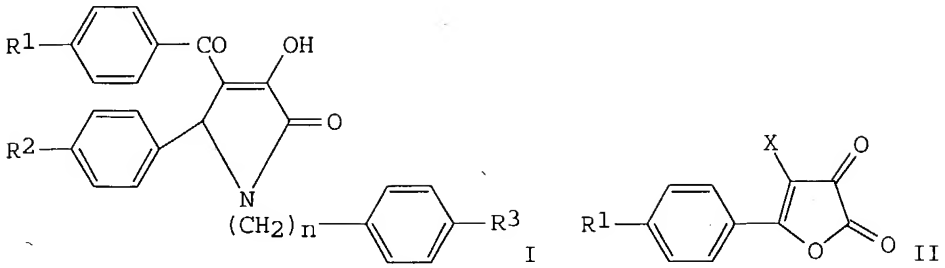
RN 74457-64-0 CAPLUS
CN Benzenebutanamide, β-bromo-N-(4-chlorophenyl)-γ-oxo- (9CI) (CA INDEX NAME)



RN 79353-64-3 CAPLUS
CN Benzenebutanamide, β-bromo-N-(4-methoxyphenyl)-γ-oxo- (9CI) (CA INDEX NAME)

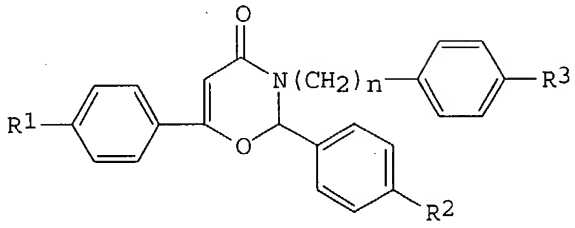


L4 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:59649 CAPLUS
DOCUMENT NUMBER: 118:59649
TITLE: Five-membered 2,3-dioxo heterocycles. XXV. Reaction of 4-unsubstituted and 4-halo-5-aryl-2,3-dihydro-2,3-furandiones with benzylideneamines. Effect of reagent structure on reaction pathway
AUTHOR(S): Karpova, L. N.; Kolotova, N. V.; Shurov, S. N.; Andreichikov, Yu. S.
CORPORATE SOURCE: inst. Org. Khim., Perm, Russia
SOURCE: Zhurnal Organicheskoi Khimii (1992), 28(4), 779-85
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



I

II



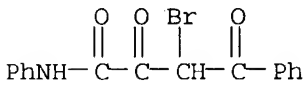
III

AB Dihydropyrrol-2-ones I (R1 = H, Me, Cl, MeO, R2 = Me2NH, MeO, NO2, H, R3 = H, MeO, Me2NH, n = 1, 2) were prepared in 29-68% yields by reaction of furandiones II with p-R2C6H4CH:N(CH2)nC6H4R3-p. Benzylidenamines, containing p-MeO and p-NO2 groups in the aldehyde fragment, gave oxazinones III.

IT **66286-56-4P 80366-13-8P 145488-69-3P 145488-70-6P 145488-71-7P 145488-72-8P 145488-73-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

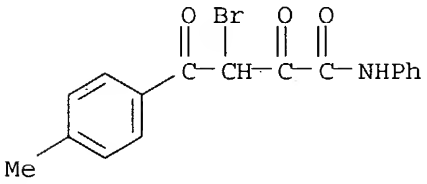
RN 66286-56-4 CAPLUS

CN Benzenebutanamide, β-bromo-α,γ-dioxo-N-phenyl- (9CI) (CA INDEX NAME)



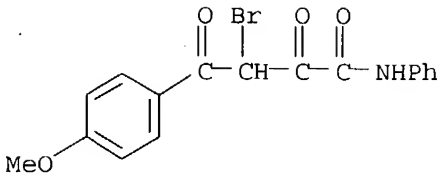
RN 80366-13-8 CAPLUS

CN Benzenebutanamide, β-bromo-4-methyl-α,γ-dioxo-N-phenyl- (9CI) (CA INDEX NAME)

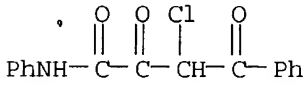


RN 145488-69-3 CAPLUS

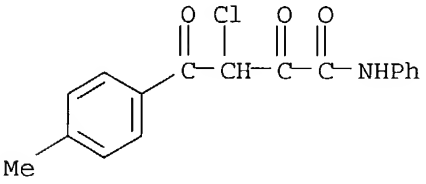
CN Benzenebutanamide, β-bromo-4-methoxy-α,γ-dioxo-N-phenyl- (9CI) (CA INDEX NAME)



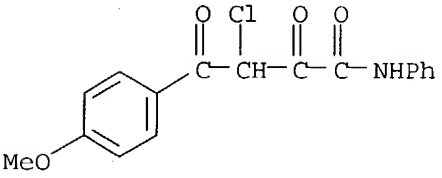
RN 145488-70-6 CAPLUS
CN Benzenebutanamide, β-chloro-α,γ-dioxo-N-phenyl- (9CI) (CA INDEX NAME)



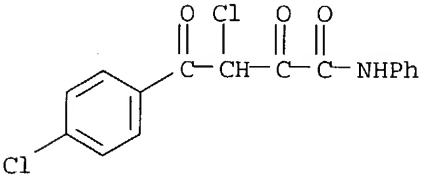
RN 145488-71-7 CAPLUS
CN Benzenebutanamide, β-chloro-4-methyl-α,γ-dioxo-N-phenyl- (9CI) (CA INDEX NAME)



RN 145488-72-8 CAPLUS
CN Benzenebutanamide, β-chloro-4-methoxy-α,γ-dioxo-N-phenyl- (9CI) (CA INDEX NAME)



RN 145488-73-9 CAPLUS
CN Benzenebutanamide, β,4-dichloro-α,γ-dioxo-N-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:101537 CAPLUS
DOCUMENT NUMBER: 114:101537

TITLE: Preparation of distamycin analogs as antineoplastic agents

INVENTOR(S): Mongelli, Nicola; Biasoli, Giovanni; Capolongo, Laura; Pezzoni, Gabriella

PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.r.l., Italy

SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

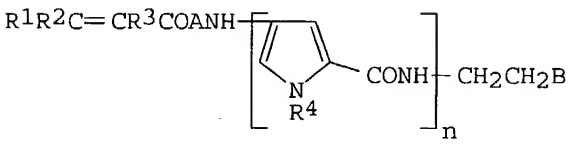
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 388948	A1	19900926	EP 1990-105426	19900322
EP 388948	B1	19931215		
R: GR				
CA 2030519	AA	19900924	CA 1990-2030519	19900322
CA 2030519	C	20000711		
WO 9011277	A1	19901004	WO 1990-EP471	19900322
W: AU, CA, FI, HU, JP, KR, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9052761	A1	19901022	AU 1990-52761	19900322
AU 635733	B2	19930401		
ZA 9002221	A	19901228	ZA 1990-2221	19900322
EP 416075	A1	19910313	EP 1990-904822	19900322
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
HU 54981	A2	19910429	HU 1990-2839	19900322
HU 213507	B	19970728		
JP 03504863	T2	19911024	JP 1990-504729	19900322
JP 2986208	B2	19991206		
AT 98634	E	19940115	AT 1990-105426	19900322
ES 2062143	T3	19941216	ES 1990-105426	19900322
RU 2094430	C1	19971027	RU 1990-4894226	19900322
US 5175182	A	19921229	US 1990-613490	19901105
FI 95463	B	19951031	FI 1990-5758	19901121
FI 95463	C	19960212		

PRIORITY APPLN. INFO.:

GB 1989-6709	A	19890323
EP 1990-105426	A	19900322
WO 1990-EP471	A	19900322

OTHER SOURCE(S): MARPAT 114:101537

GI



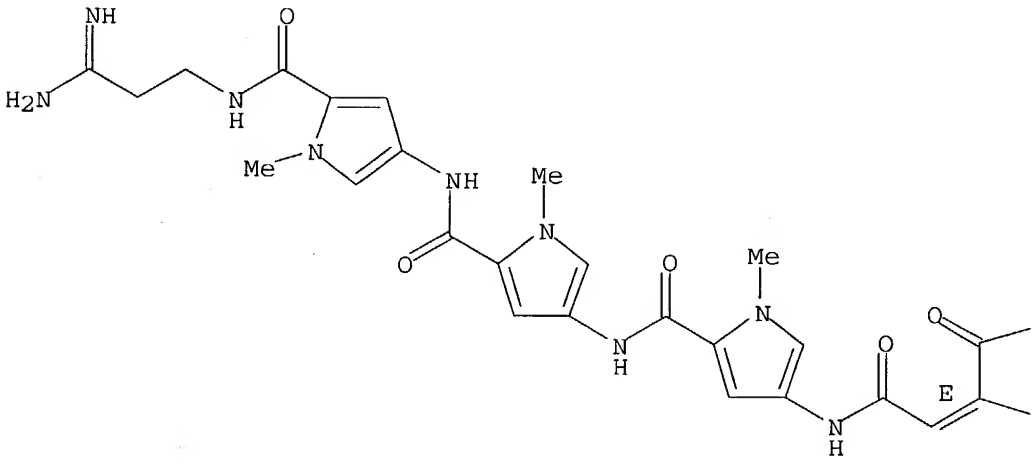
I

AB The title compds. [I; A = bond, NHZCO; B = C(:NR5)NHR6, (CH2)mNR2; R = alkyl; R1, R2 = H, halo, cyano, NO2, alkyl, 4-(MeO)C6H4CO; R3 = H, halo, cyano, NO2; R4 = H, alkyl; R5, R6 = H, R5R6 = (CH2)2-3, CH:CH; Z = 1,4-phenylenediyl, heterocyclylenediyl; m = 1-3; n = 1-5] were prepared Thus, N-deformyldistamycin A dihydrochloride was stirred 4 h with H2C:CBrCO2H in DMF containing DCC to give I [A = bond, B = C(:NH)NH2, R1 = R2 = H, R3 = Br, R4 = Me] (II; n = 3). II (n = 4) had IC50 of 0.003 mg/mL against murine L1210 leukemia cells in vitro.

IT **132268-29-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antineoplastic agent)
RN 132268-29-2 CAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-4-[[[4-[[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-, monohydrochloride, (E)- (9CI) (CA INDEX NAME)

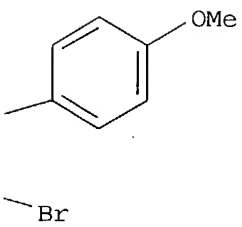
Double bond geometry as shown.

PAGE 1-A



● HCl

PAGE 1-B

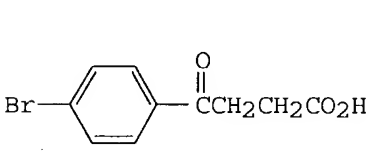


L4 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:407643 CAPLUS
DOCUMENT NUMBER: 101:7643
TITLE: Substituted N-(ω-aroylpropionyl) derivatives of α-amino acids and esters

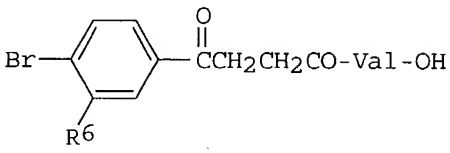
INVENTOR(S): McEvoy, Francis J.; Albright, Jay D.
PATENT ASSIGNEE(S): American Cyanamid Co. , USA
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4435329	A	19840306	US 1981-312119	19811016

PRIORITY APPLN. INFO.: US 1981-312119 19811016
OTHER SOURCE(S): CASREACT 101:7643
GI



I

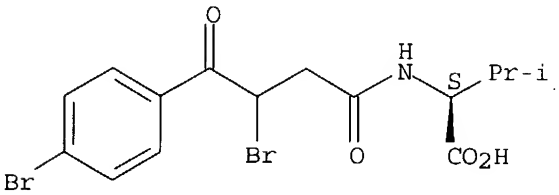


II

AB Title compds. RCOZCONR1CHR2CO2R3 [R = (un)substituted naphthyl, 4-biphenylyl, 4- or 5-indanyl, (un)substituted Ph; R1 = H, C1-4 alkyl; R2 = H, alkyl, hydroxyalkyl, mercaptoalkyl, cyclohexyl, cyclopentyl, Ph, phenylalkyl, carboxyalkyl, aminoalkyl, carbamoylalkyl; R3 = H, C1-4 alkyl; Z = CH(SR4)CHR5 or CHR5CH(SR4) (R4 = H, alkanoyl, Bz, phenylalkanoyl; R5 = H, C1-4 alkyl)] were prepared as antihypertensives (no data). Thus, propionate I was esterified with N-hydroxysuccinimide by DCC in dioxane to give the succinimido ester, which was condensed with valine in dioxane to give valine II (R6 = H). The latter was brominated with Br2 to give II (R6 = Br), which was treated with AcSK to give II (R6 = SAc).

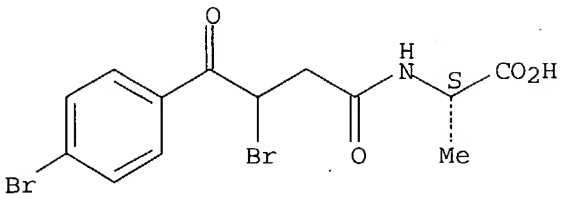
IT **90471-90-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with potassium thioacetate)
RN 90471-90-2 CAPLUS
CN L-Valine, N-[3-bromo-4-(4-bromophenyl)-1,4-dioxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **90471-92-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with thioacetate)
RN 90471-92-4 CAPLUS
CN L-Alanine, N-[3-bromo-4-(4-bromophenyl)-1,4-dioxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



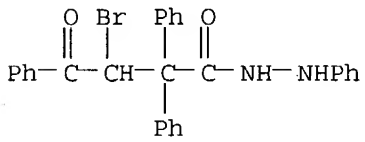
L4 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:406955 CAPLUS
DOCUMENT NUMBER: 101:6955
TITLE: Chemistry of oxalyl derivatives of methyl ketones. XXXIV. Reaction of 5-aryl-2,3-dihydrofuran-2,3-diones with disubstituted diazoalkanes
AUTHOR(S): Andreichikov, Yu. S.; Gel't, N. V.
CORPORATE SOURCE: Farm. Inst., Perm, USSR
SOURCE: Zhurnal Organicheskoi Khimii (1984), 20(2), 411-16
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 101:6955
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Thermolysis of 5-aryl-2,3-dihydro-2,3-furandiones (I) (R, R1 = H, H; Cl, H; Me, H; Br, H; H, Br; Me, Br; Br, Br) gave ketenes, which with Ph2C:N2 or 9-diazofluorene gave, putatively, cyclopropanones, recyclization of which gave 3,3,5-trisubstituted-2-furanones (II or III). I themselves with the same diazo compds. gave either 3,3,6-trisubstituted-2,4-pyrandiones IV or V and 6,6,6α-trisubstituted-2,3-dioxo-2,3,6,6a-tetrahydro-5H-furo[2,3-c]pyrazoles VI.

IT **90448-32-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 90448-32-1 CAPLUS
CN Benzenebutanoic acid, β-bromo-γ-oxo-α,α-diphenyl-, 2-phenylhydrazide (9CI) (CA INDEX NAME)

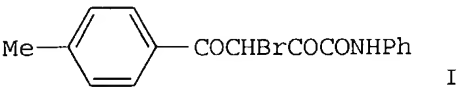


L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:46260 CAPLUS
DOCUMENT NUMBER: 96:46260
TITLE: β-Bromo-p-toluylpyroracemic acid anilide with antimicrobial activity
INVENTOR(S): Andreichikov, Yu. S.; Plakhina, G. D.; Plaksina, A. N.
PATENT ASSIGNEE(S): Perm Pharmaceutical Institute, USSR

SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1981, (33), 310.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

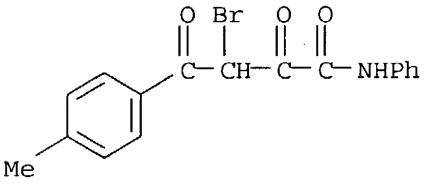
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 750971	A1	19810907	SU 1978-2640880	19780707
PRIORITY APPLN. INFO.:			SU 1978-2640880 A	19780707

OTHER SOURCE(S): CASREACT 96:46260
GI



I

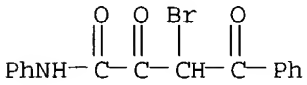
AB The title compound I [**80366-13-8**] has antimicrobial activity.
IT **80366-13-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericide)
RN 80366-13-8 CAPLUS
CN Benzenebutanamide, β-bromo-4-methyl-α,γ-dioxo-N-phenyl- (9CI) (CA INDEX NAME)



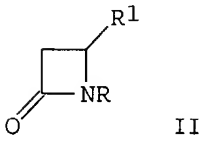
L4 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:28650 CAPLUS
DOCUMENT NUMBER: 96:28650
TITLE: β-Bromobenzoylpyroracemic acid phenylamide with antiinflammatory activity
INVENTOR(S): Andreichikov, Yu. S.; Plakhina, G. D.; Pidemskii, E. L.; Sakharnaya, T. Ya.; Golyasnaya, N. V.
PATENT ASSIGNEE(S): Perm State University, USSR; Perm Pharmaceutical Institute
SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1981, (33), 310.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 623356	A1	19810907	SU 1977-2458613	19770302
PRIORITY APPLN. INFO.:			SU 1977-2458613 A	19770302

OTHER SOURCE(S): CASREACT 96:28650
AB The title compound PhCOCHBrCOCONHPh **[66286-56-4]** has antiinflammatory activity.
IT **66286-56-4**
RL: BIOL (Biological study)
(inflammation inhibitor)
RN 66286-56-4 CAPLUS
CN Benzenebutanamide, β-bromo-α,γ-dioxo-N-phenyl- (9CI) (CA INDEX NAME)

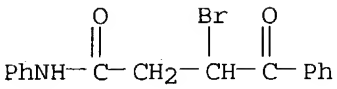


L4 ANSWER 11 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:550286 CAPLUS
DOCUMENT NUMBER: 95:150286
TITLE: A convenient synthesis of monocyclic β-lactams by means of solid-liquid phase transfer reactions
AUTHOR(S): Takahata, Hiroki; Ohnishi, Yoshinori; Takehara, Hiroyuki; Tsuritani, Kazuko; Yamazaki, Takao
CORPORATE SOURCE: Fac. Pharm. Sci., Toyama Med. Pharm. Univ., Toyama, 930-01, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1981), 29(4), 1063-8
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:150286
GI

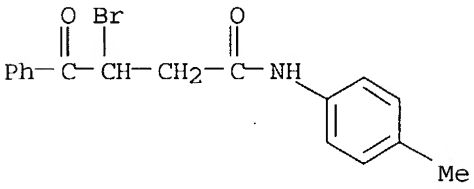


II

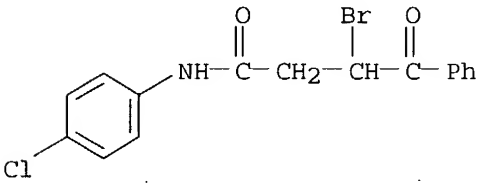
AB The intramol. N-alkylation of R1CHBrCH2CONHR [I, R = (substituted) Ph, PhCH2, p-MeOC6H4CH2, β-phenethyl, cyclohexyl, Pr, α-naphthyl, CH2CO2Et, CHMeCO2Me, CH2CH2CO2Me, CH(CHMe2)CO2Me, CHPhCO2Me, CHMeCO2Me; R1 = H] under phase transfer conditions gave the corresponding azetidinones II (R1 = H) in 63-94% yields. Similarly, I (R = Ph, p-MeOC6H4, p-MeC6H4, p-ClC6H4; R1 = COPh) gave the corresponding II (R1 = COPh) in 52-61% yields.
IT **74457-62-8P 74457-63-9P 74457-64-0P**
79353-64-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and intramol. alkylation of)
RN 74457-62-8 CAPLUS
CN Benzenebutanamide, β-bromo-γ-oxo-N-phenyl- (9CI) (CA INDEX NAME)



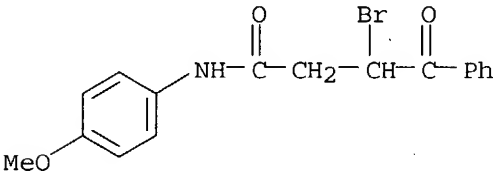
RN 74457-63-9 CAPLUS
CN Benzenebutanamide, β-bromo-N-(4-methylphenyl)-γ-oxo- (9CI) (CA INDEX NAME)



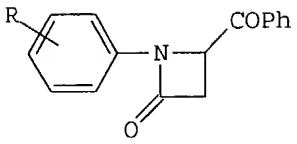
RN 74457-64-0 CAPLUS
CN Benzenebutanamide, β-bromo-N-(4-chlorophenyl)-γ-oxo- (9CI) (CA INDEX NAME)



RN 79353-64-3 CAPLUS
CN Benzenebutanamide, β-bromo-N-(4-methoxyphenyl)-γ-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:471410 CAPLUS
DOCUMENT NUMBER: 93:71410
TITLE: An alternative route to 4-benzoyl-2-azetidinones
AUTHOR(S): Abdulla, Riaz F.; Williams, J. C., Jr.
CORPORATE SOURCE: Lilly Res. Lab., Div. Eli Lilly and Co., Greenfield, IN, 46140, USA
SOURCE: Tetrahedron Letters (1980), 21(11), 997-1000
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:71410
GI

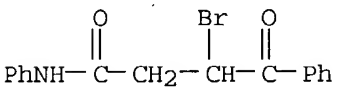


II

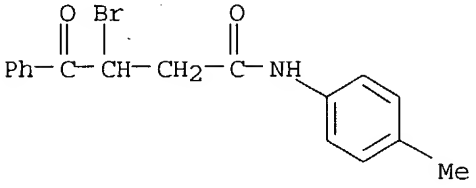
AB RC6H4NHCOCH2CH(COPh)Br (I; R = H, 4-Me, 4-Cl, 3-CF3) were prepared (80-95%) from PhCOCHBrCH2CO2H and RC6H4NH2 by DCC condensation in CH2Cl2. I were treated with various bases to give azetidinones (II). Thus, I (R = H) was treated in EtOH for 5-10 min at 22° with Amberlite IRA-400 to give 60% II (R = H).

IT **74457-62-8P 74457-63-9P 74457-64-0P 74457-65-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclodehydrobromination of)

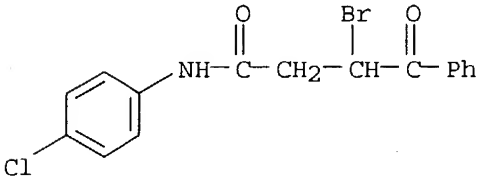
RN 74457-62-8 CAPLUS
CN Benzenebutanamide, β-bromo-γ-oxo-N-phenyl- (9CI) (CA INDEX NAME)



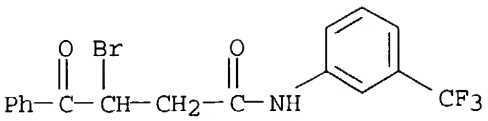
RN 74457-63-9 CAPLUS
CN Benzenebutanamide, β-bromo-N-(4-methylphenyl)-γ-oxo- (9CI) (CA INDEX NAME)



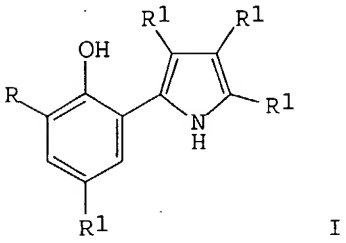
RN 74457-64-0 CAPLUS
CN Benzenebutanamide, β-bromo-N-(4-chlorophenyl)-γ-oxo- (9CI) (CA INDEX NAME)



RN 74457-65-1 CAPLUS
CN Benzenebutanamide, β-bromo-γ-oxo-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



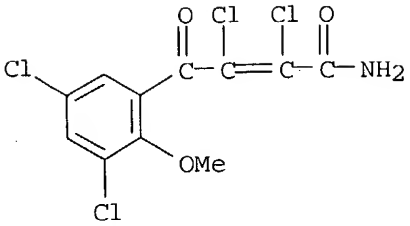
L4 ANSWER 13 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:137608 CAPLUS
DOCUMENT NUMBER: 90:137608
TITLE: Synthesis of some 2-phenylpyrrole derivatives
AUTHOR(S): ApSimon, John W.; Durham, David G.; Rees, Alun H.
CORPORATE SOURCE: Dep. Chem., Carleton Univ., Ottawa, ON, Can.
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1978), (12), 1588-94
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 90:137608
GI



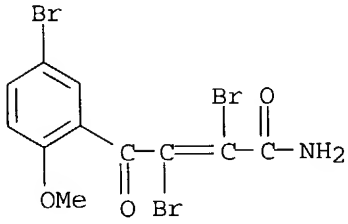
I

AB Ph cyclopropyl ketones (prepared either by reaction of the appropriate benzene derivative with Cl(CH2)3COCl and subsequent cyclization or by direct reaction with cyclopropylcarbonyl chloride) underwent reaction with HCONH2 to give the corresponding 2-phenylpyrrolidines. Aromatization of the pyrrolidines, via the resp. 1-pyrrolines, gave 2-phenylpyrroles. An improved synthesis of 2-phenylpyrroles from N-benzoylglycines involving cyclization using dicyclohexylcarbodiimide followed by reaction of the resulting oxazolones with acetylenedicarboxylate esters is described. The chloro analogs I (R = H, Cl; R1 = Cl) of the bromine containing marine antibiotic I (R = R1 = Br) were prepared in addition to several title compds.

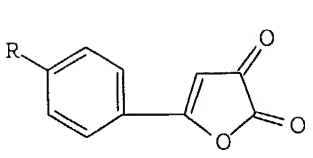
IT **69640-35-3P 69640-37-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 69640-35-3 CAPLUS
CN 2-Butenamide, 2,3-dichloro-4-(3,5-dichloro-2-methoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)



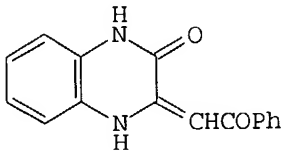
RN 69640-37-5 CAPLUS
CN 2-Butenamide, 2,3-dibromo-4-(5-bromo-2-methoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)



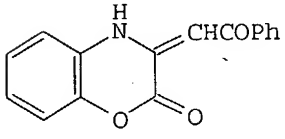
L4 ANSWER 14 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:152193 CAPLUS
DOCUMENT NUMBER: 88:152193
TITLE: Chemistry of oxalyl derivatives of methyl ketones. IX. Reaction of 5-aryl-2,3-dihydrofuran-2,3-diones with ammonia and aromatic amines
AUTHOR(S): Andreichikov, Yu. S.; Nalimova, Yu. A.; Tendryakova, S. P.; Vilenchik, Ya. M.
CORPORATE SOURCE: Perm. Farm. Inst., Perm, USSR
SOURCE: Zhurnal Organicheskoi Khimii (1978), 14(1), 160-3
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 88:152193
GI



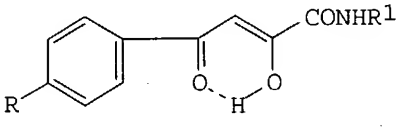
I



III



IV

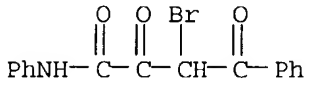


V

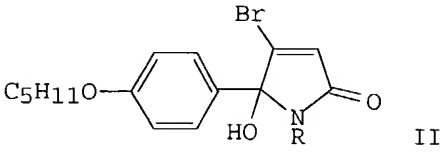
AB Aryldihydrofurandiones I (R = H, Me, MeO, Br) underwent ring cleavage with R1NH2 (R1 = H, Ph, p-tolyl, p-anisyl, p-BrC6H4) in C6H6 at room temperature to give 13 p-RC6H4COCH2COCONHR1 (II) in 88-99% yield. II (R = R1 = H) cyclized with o-XC6H4NH2 (X = HO, H2N) to give tetrahydroquinoxalinone III

and 95% benzoxazinone IV, resp. II exist entirely as the intramol. H-bonded tautomers V, according to their NMR spectra.

IT **66286-56-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 66286-56-4 CAPLUS
CN Benzenebutanamide, β-bromo-α,γ-dioxo-N-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:139573 CAPLUS
DOCUMENT NUMBER: 86:139573
TITLE: Substances with antineoplasm activity. LVIII. Some amides of β-4-pentoxybenzoyl-β-bromoacrylic acid
AUTHOR(S): Zikan, V.; Kakac, B.; Holubek, J.; Vesela, H.; Semonsky, M.
CORPORATE SOURCE: Res. Inst. Pharm. Biochem., Prague, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1976), 41(10), 3113-18
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

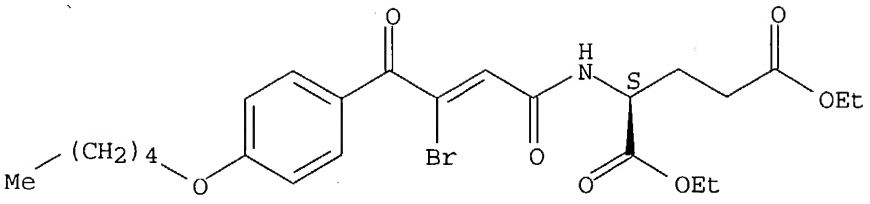


II

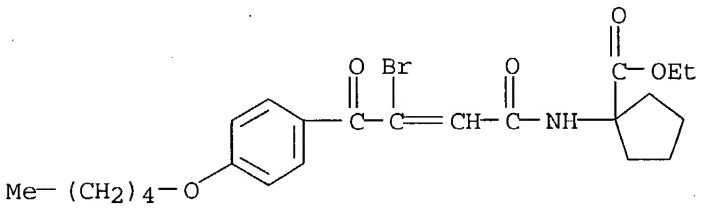
AB Reaction of γ-(4-pentoxyphenyl)-γ-acetoxy-β-bromo-Δα,β-crotonolactone with RNH2 gave either p-C5H11OC6H4COCBr:CHCONHR-cis (I; R = 1-carbethoxycyclopentyl, 1-carbethoxycyclohexyl) or II (R = H, Me, Et, Pr, Bu, CH2CH2OH, CH2CO2Et, CH2CH2CO2Et). Mixts. of I and II were obtained when R was L-CH(CO2Et)CH2CO2Et or L-CH(CO2Et)CH2CH2CO2Et. Most of the above amides either inhibited the growth of transplantable tumors in exptl. animals or prolonged the survival of the animals.

IT **62105-77-5P 62105-79-7P 62105-80-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and neoplasm-inhibiting activity of)
RN 62105-77-5 CAPLUS
CN L-Glutamic acid, N-[3-bromo-1,4-dioxo-4-[4-(pentyloxy)phenyl]-2-butenyl]-, diethyl ester (9CI) (CA INDEX NAME)

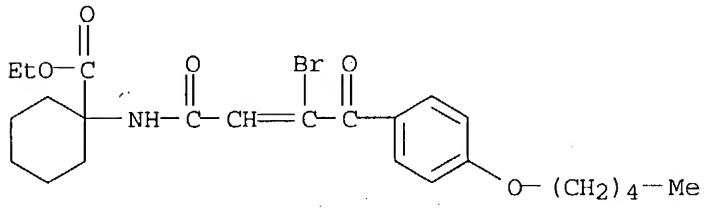
Absolute stereochemistry.
Double bond geometry unknown.



RN 62105-79-7 CAPLUS
CN Cyclopentanecarboxylic acid, 1-[[3-bromo-1,4-dioxo-4-[4-(pentyloxy)phenyl]-2-butenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

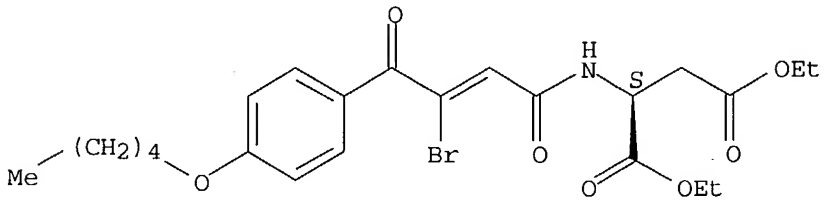


RN 62105-80-0 CAPLUS
CN Cyclohexanecarboxylic acid, 1-[[3-bromo-1,4-dioxo-4-[4-(pentyloxy)phenyl]-2-butenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



IT **62105-75-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 62105-75-3 CAPLUS
CN L-Aspartic acid, N-[3-bromo-1,4-dioxo-4-[4-(pentyloxy)phenyl]-2-butenyl]-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:159241 CAPLUS
DOCUMENT NUMBER: 78:159241
TITLE: Substituted amides of β-4-alkoxybenzoyl-β-

bromoacrylic acids

INVENTOR(S): Semonsky, Miroslav; Kucharczyk, Norbert; Zikan, Viktor; Jelinek, Vaclav

SOURCE: Czech., 3 pp.
CODEN: CZXXA9
DOCUMENT TYPE: Patent
LANGUAGE: Czech
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CS 145820		19721015	CS 1968-6396	19680912

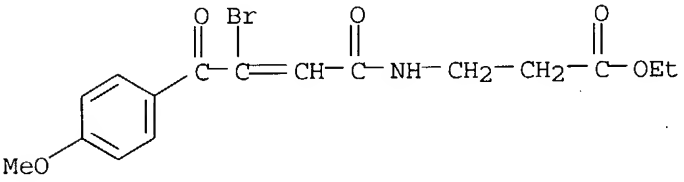
GI For diagram(s), see printed CA Issue.

AB The title compds. (I, R1 = Me, Bu; R2, R3 = H, alkyl, alicyclic ring), which show antineoplastic activity, are prepared by reaction of γ-(4-alkoxyphenyl)-γ-acyloxy-β-bromo-Δα,β-crotonolactone with the appropriate amino acid derivative E.g., γ-(4-butoxyphenyl)-γ-acetoxy-β-bromo-Δα,β-crotonolactone was kept with Et 1-aminocyclo-hexanecarboxylate in C6H6 48 hr to give 95% Et N-[β-(4-butoxy-benzoyl) - β - bromoacryloyl] - 1 - aminocyclohexanecarboxylate. Similarly prepared were 16 addnl. I.

IT **24016-24-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of)

RN 24016-24-8 CAPLUS

CN β-Alanine, N-[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]-, ethyl ester (9CI) (CA INDEX NAME)

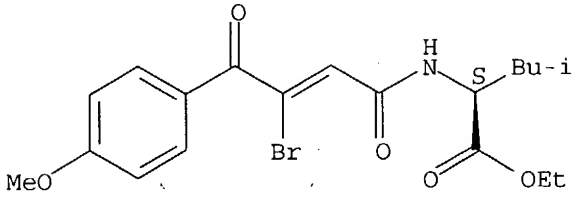


IT **24016-22-6P 24016-25-9P 24016-26-0P**
24576-05-4P 24576-06-5P 24576-07-6P
24576-10-1P 24576-11-2P 24576-12-3P
24576-13-4P 24628-86-2P 24628-88-4P
24639-55-2P 24639-56-3P 29482-41-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

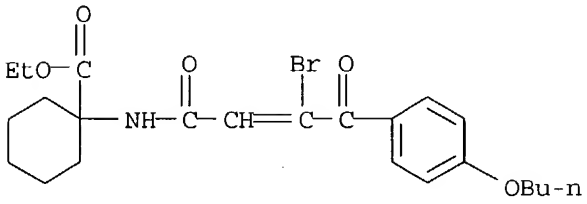
RN 24016-22-6 CAPLUS

CN L-Leucine, N-[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]-, ethyl ester (9CI) (CA INDEX NAME)

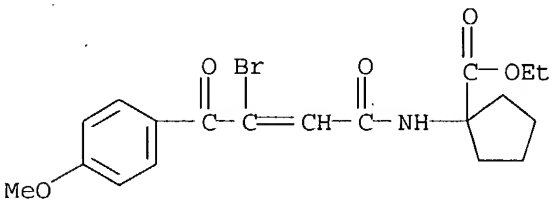
Absolute stereochemistry.
Double bond geometry unknown.



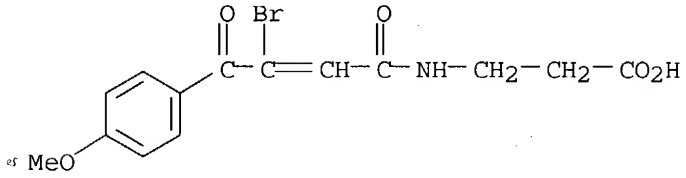
RN 24016-25-9 CAPLUS
CN Cyclohexanecarboxylic acid, 1-[[3-bromo-4-(4-butoxyphenyl)-1,4-dioxo-2-butenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



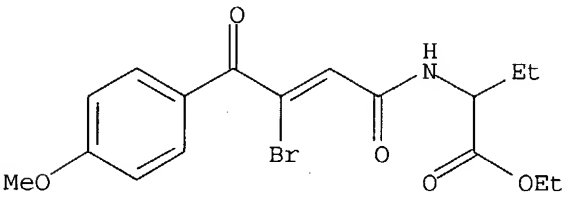
RN 24016-26-0 CAPLUS
CN Cyclopentanecarboxylic acid, 1-[[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



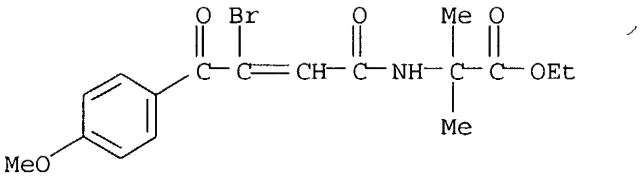
RN 24576-05-4 CAPLUS
CN β-Alanine, N-[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]- (9CI) (CA INDEX NAME)



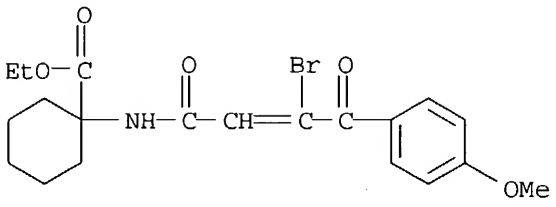
RN 24576-06-5 CAPLUS
CN Butanoic acid, 2-[[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



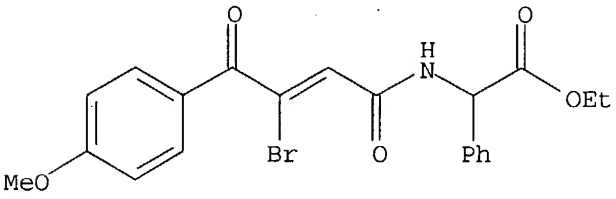
RN 24576-07-6 CAPLUS
CN Alanine, N-[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



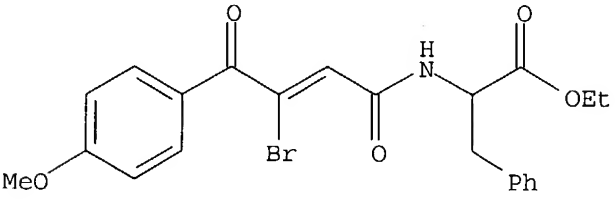
RN 24576-10-1 CAPLUS
CN Cyclohexanecarboxylic acid, 1-[[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



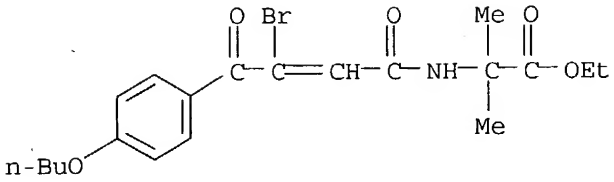
RN 24576-11-2 CAPLUS
CN Benzeneacetic acid, α-[[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



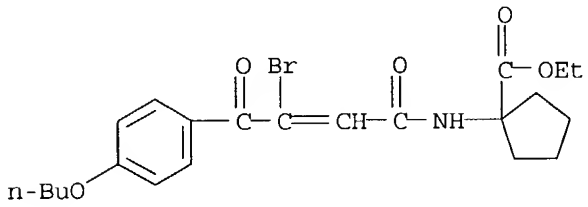
RN 24576-12-3 CAPLUS
CN Phenylalanine, N-[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]-, ethyl ester (9CI) (CA INDEX NAME)



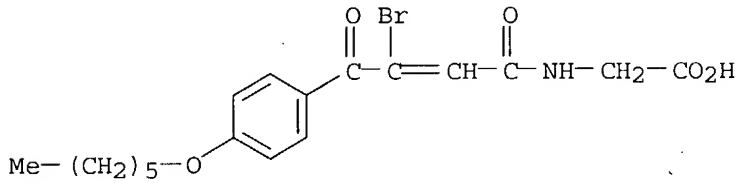
RN 24576-13-4 CAPLUS
CN Alanine, N-[3-bromo-4-(4-butoxyphenyl)-1,4-dioxo-2-butenyl]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



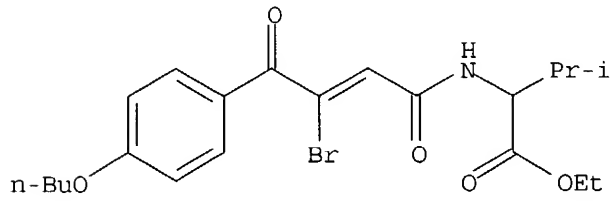
RN 24628-86-2 CAPLUS
CN Cyclopentanecarboxylic acid, 1-[[3-bromo-4-(4-butoxyphenyl)-1,4-dioxo-2-butenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 24628-88-4 CAPLUS
CN Glycine, N-[3-bromo-4-[4-(hexyloxy)phenyl]-1,4-dioxo-2-butenyl]- (9CI) (CA INDEX NAME)

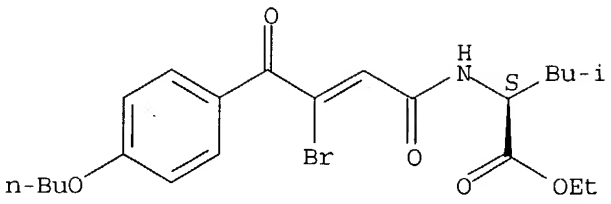


RN 24639-55-2 CAPLUS
CN Valine, N-[3-bromo-4-(4-butoxyphenyl)-1,4-dioxo-2-butenyl]-, ethyl ester (9CI) (CA INDEX NAME)

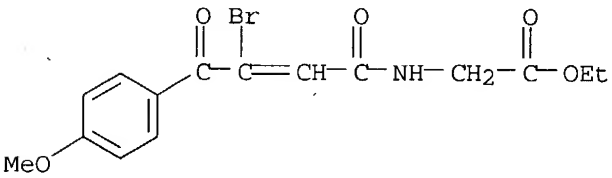


RN 24639-56-3 CAPLUS
CN L-Leucine, N-[3-bromo-4-(4-butoxyphenyl)-1,4-dioxo-2-butenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 29482-41-5 CAPLUS
CN Glycine, N-[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]-, ethyl ester (9CI) (CA INDEX NAME)

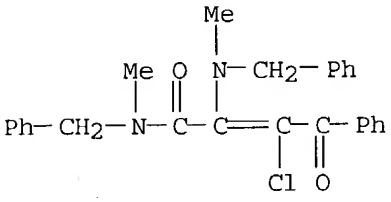


L4 ANSWER 17 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:461617 CAPLUS
DOCUMENT NUMBER: 77:61617
TITLE: β-Chloro-β-benzoylacrylic acid derivatives
INVENTOR(S): Zanker, Fritz; Reicheneder, Franz
PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik AG
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

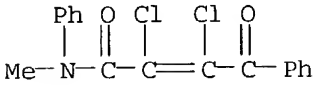
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2055619	A	19720518	DE 1970-2055619	19701112

PRIORITY APPLN. INFO.: DE 1970-2055619 19701112
GI For diagram(s), see printed CA Issue.
AB Ten title compds. PhCOCCl:CRCOR1 [I, R,R1 = 1-pyrrolidinyl, 2,6-dimethylmorpholino, morpholino, MePhN, Me(PhCH2)N, piperidino, Et2N, PhS, p-MeOC6H4S, or p-ClC6H4S; or RR1 = o-(MeN)2-C6H4], which were used as hardeners in photog. gelatin solns. and are useful, e.g., in the preparation of dyes and pesticides and as leather tanning agents, were prepared by reaction of phenylmucochloryl chloride (II) with the appropriate amines or thiols or successive reaction of II with an amine and thiol in the presence (in the case of the thiols) of Et3N in inert solvents. Thus, reaction of II with pyrrolidine in C6H6 for 12 hr gave 81% I (R = R1 = 1-pyrrolidinyl). Reaction of II with excess PhNHMe in C6H6 for 48 hr gave 90% N-methylphenylmucochloranilide (III). Reaction of III with PhSH in dioxane containing Et3N 12 hr at room temperature and 1 hr at reflux temperature gave 85%
I (R = PhS, R1 = MePhN).
IT **38596-16-6P 38596-19-9P 38596-20-2P**
38596-21-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 38596-16-6 CAPLUS
CN 2-Butenamide, 3-chloro-N-methyl-2-[methyl(phenylmethyl)amino]-4-oxo-4-

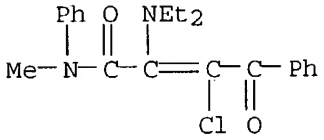
phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



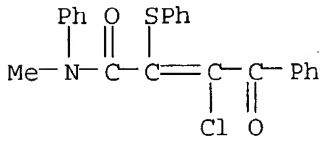
RN 38596-19-9 CAPLUS
CN 2-Butenamide, 2,3-dichloro-N-methyl-4-oxo-N,4-diphenyl- (9CI) (CA INDEX NAME)



RN 38596-20-2 CAPLUS
CN 2-Butenamide, 3-chloro-2-(diethylamino)-N-methyl-4-oxo-N,4-diphenyl- (9CI) (CA INDEX NAME)



RN 38596-21-3 CAPLUS
CN 2-Butenamide, 3-chloro-N-methyl-4-oxo-N,4-diphenyl-2-(phenylthio)- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:415245 CAPLUS
DOCUMENT NUMBER: 73:15245
TITLE: Substances with antineoplastic activity. XLIII. Reaction of ethyl ester of N-[β-(4-methoxybenzoyl)-β-bromoacryloyl]glycine and -β-alanine with hydrazide; ethyl ester of N-[β-(4-methoxybenzoyl)-β-bromoacryloyl]glycylglycine
AUTHOR(S): Zikan, Viktor; Semonsky, Miroslav; Svatek, Emil
CORPORATE SOURCE: Vyzk. Ustav Farm. Biochem., Prague, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1970), 35(5), 1434-9
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal

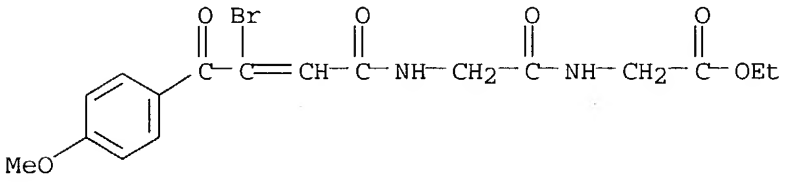
LANGUAGE: English

AB p-MeOC6H4COCBr:CHCONH(CH2)nCO2Et (n = 1 and 2) gave pyrazoles with excess N2H4.H2O in EtOH. p-MeOC6H4COCBr:CHCONHCH2CO2H gave with H2NCH2CO2Et by the dicyclohexylcarbodiimide method p-MeOC6H4COCBr:CHCONHCH2CONHCH2CO2Et (I), which exists predominantly in the hydroxylactam form. One of the pyrazoles and I inhibited the growth of the mammary adenocarcinoma, Ehrlich ascites tumor, and Crockers sarcoma 180 by 33-47% in rats but did not prolong survival of the animals. I prolonged the survival of mice with the S 37 sarcoma by 24% but had no effect on the tumor growth. None of the compds. had any effect on the Yoshida ascites sarcoma.

IT **24850-96-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 24850-96-2 CAPLUS

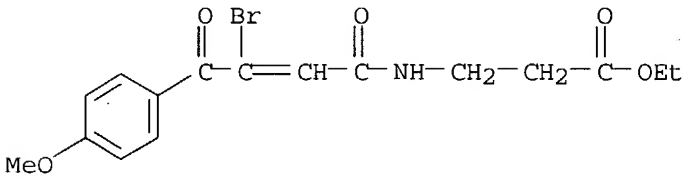
CN Glycine, N-[N-(3-p-anisoyl-3-bromoacryloyl)glycyl]-, ethyl ester (8CI) (CA INDEX NAME)



IT **24016-24-8 29482-41-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydrazine)

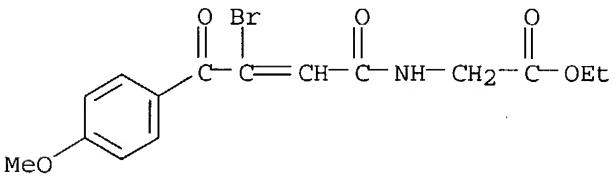
RN 24016-24-8 CAPLUS

CN β-Alanine, N-[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 29482-41-5 CAPLUS

CN Glycine, N-[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1970:3184 CAPLUS

DOCUMENT NUMBER: 72:3184

TITLE: Substances with antineoplastic activity. XXXV. Ethyl esters of N-(β-4-alkoxybenzoyl-β-bromoacryloyl)amino acids

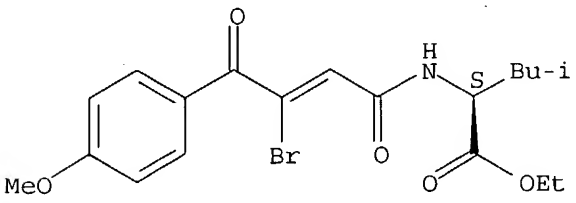
AUTHOR(S): Kucharczyk, Norbert; Zikan, Viktor; Semonsky, M.; Jelinek, V.
CORPORATE SOURCE: Vyzk. Ustav Farm. Biochem., Prague, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1969), 34(11), 3637-42
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The following title compds. p-R1OC6H4COCBr:CHCONHR2 were obtained when 4.5 millimoles γ-4-methoxy- or γ-(4-butoxyphenyl)-γ-acetoxy-β-bromo-Δα.β-crotonolactone (S, K., Z., and J., 1969) and 10 millimoles of the corresponding amino acid in 25 ml C6H6 was kept 48 hr and overnight at 10° and the precipitate crystallized (R1, R2, % yield, and m.p. given): Me, (CH2)2CO2Et (I), 94, 137-9° (MeOH); Me, (CH2)2CO2H (I I), 93, 161-2° (aqueous MeOH); Me, CHEtCO2Et, 59, 124-6° (C6H6-petroleum ether); Me, CMe2CO2Et, 80, 150-1.5° (C6H6); Me, CH(iso-Bu)CO2Et, 72, 128-30° (cyclohexane); Me, 1-cyclopentyl-1-ethoxycarbonyl, 89, 160-1° (C6H6); Me, 1-cyclohexyl-1-ethoxycarbonyl, 95, 180-2° (C6H6); Me, CHPhCO2Et, 87, 172-3° (MeOH); Me, CH(CH2Ph)CO2Et, 69, 93-6° (MeOH); Bu, CMe2CO2Et, 56, 133-4.5°, C6H6; Bu, CH (iso-Pr)CO2Et, 61, 127-9° (MeOH); Bu, CH (iso-Bu)CO2Et, 65, 93-6° (MeOH); Bu, 1-cyclopentyl-1-ethoxycarbonyl, 73, 141-3° (C6H6); Bu, 1-cyclohexyl-1-ethoxycarbonyl, 83, 163-4° (C6H6); C6H13, CH2CO2H (III), 68, 141-3° (C6H6). γ-4-Hexyloxyphenyl-γ-acetoxy - β - bromo - Δα.α - crotonolactone, used in the synthesis of III, was obtained in a 85% yield from γ-hexyloxyphenyl-α,β-dibromo-Δα.β-crotonolactone, anhydrous AcONa, and AcOH and purified on a silica gel column in 1:9 C6H6-cyclohexane and HCONMe2-H3PO4 as crystals, m. 47-8° (MeOH). II was obtained by hydrolysis of I in alc. KOH 48 hr. All compds. inhibited the growth of exptl. tumors and prolonged the survival of rats with the Yoshida tumor.

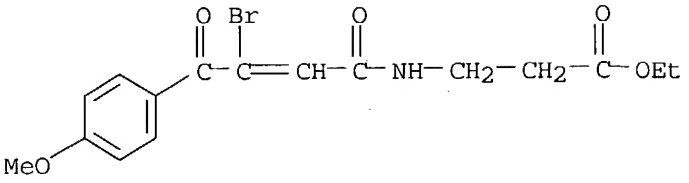
IT **24016-22-6 24016-24-8 24016-25-9 24016-26-0 24576-05-4 24576-06-5 24576-07-6 24576-10-1 24576-11-2 24576-13-4 24628-86-2 24628-88-4 24639-55-2 24639-56-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(as neoplasm inhibitor)

RN 24016-22-6 CAPLUS
CN L-Leucine, N-[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]-, ethyl ester (9CI) (CA INDEX NAME)

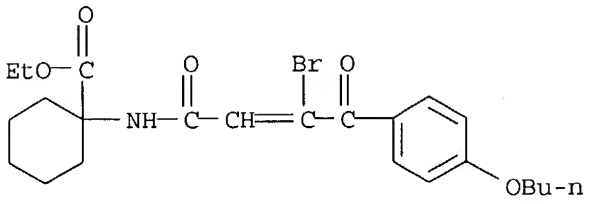
Absolute stereochemistry.
Double bond geometry unknown.



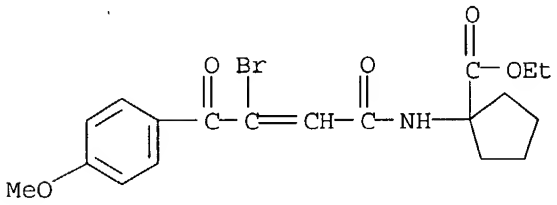
RN 24016-24-8 CAPLUS
CN β-Alanine, N-[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]-, ethyl ester (9CI) (CA INDEX NAME)



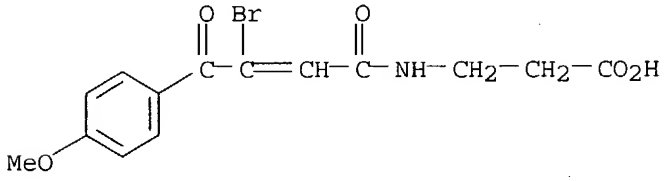
RN 24016-25-9 CAPLUS
CN Cyclohexanecarboxylic acid, 1-[[3-bromo-4-(4-butoxyphenyl)-1,4-dioxo-2-butenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



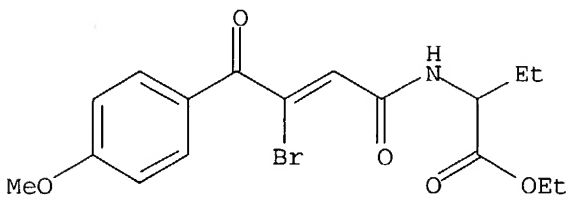
RN 24016-26-0 CAPLUS
CN Cyclopentanecarboxylic acid, 1-[[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



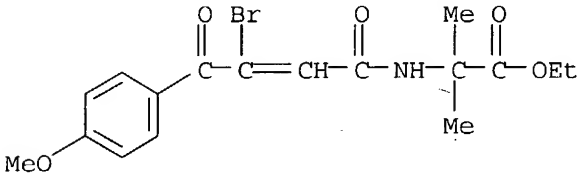
RN 24576-05-4 CAPLUS
CN β-Alanine, N-[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]- (9CI) (CA INDEX NAME)



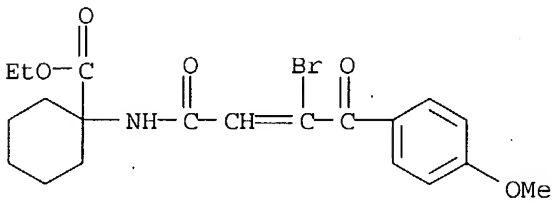
RN 24576-06-5 CAPLUS
CN Butanoic acid, 2-[[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



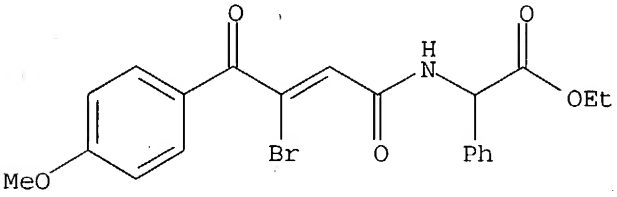
RN 24576-07-6 CAPLUS
CN Alanine, N-[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



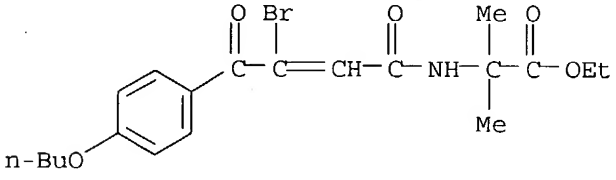
RN 24576-10-1 CAPLUS
CN Cyclohexanecarboxylic acid, 1-[[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



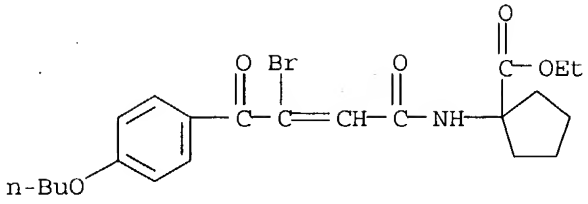
RN 24576-11-2 CAPLUS
CN Benzeneacetic acid, α-[[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



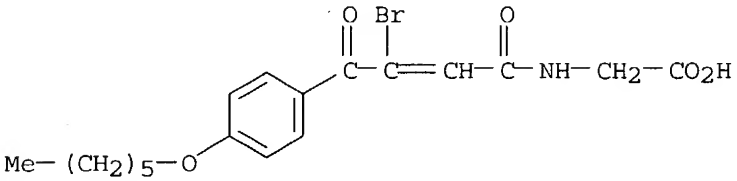
RN 24576-13-4 CAPLUS
CN Alanine, N-[3-bromo-4-(4-butoxyphenyl)-1,4-dioxo-2-butenyl]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



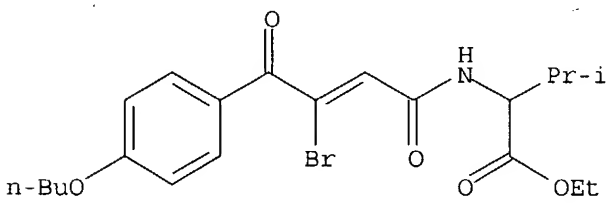
RN 24628-86-2 CAPLUS
CN Cyclopentanecarboxylic acid, 1-[[3-bromo-4-(4-butoxyphenyl)-1,4-dioxo-2-butenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 24628-88-4 CAPLUS
CN Glycine, N-[3-bromo-4-[4-(hexyloxy)phenyl]-1,4-dioxo-2-butenyl]- (9CI) (CA INDEX NAME)

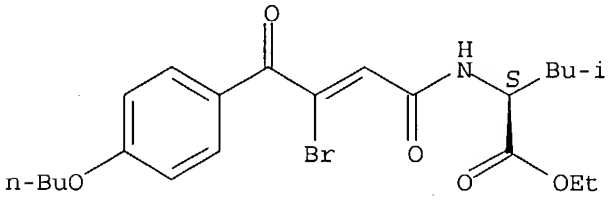


RN 24639-55-2 CAPLUS
CN Valine, N-[3-bromo-4-(4-butoxyphenyl)-1,4-dioxo-2-butenyl]-, ethyl ester (9CI) (CA INDEX NAME)

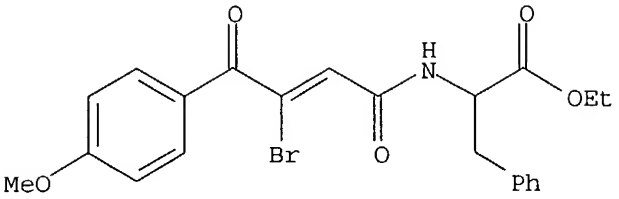


RN 24639-56-3 CAPLUS
CN L-Leucine, N-[3-bromo-4-(4-butoxyphenyl)-1,4-dioxo-2-butenyl]-, ethyl ester (9CI) (CA INDEX NAME)

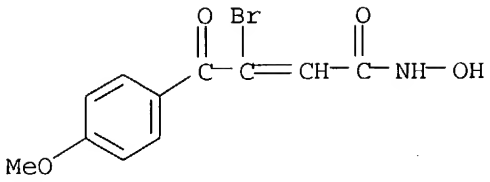
Absolute stereochemistry.
Double bond geometry unknown.



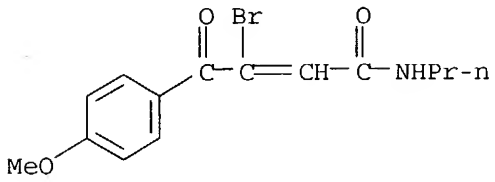
IT **24576-12-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 24576-12-3 CAPLUS
CN Phenylalanine, N-[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:3183 CAPLUS
DOCUMENT NUMBER: 72:3183
TITLE: Substances with antineoplastic activity. XXXIV. Synthesis and some reactions of γ-aryl-γ-acyloxy-β-halogeno-Δα,β-crotonolactones
AUTHOR(S): Semonsky, Miroslav; Kucharczyk, N.; Zikan, Viktor; Jelinek, Vaclaw
CORPORATE SOURCE: Vyzk. Ustav Farm. Biochem., Prague, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1969), 34(11), 3533-9
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Refluxing 1.5 hr 0.03 mole γ-alkoxyphenyl-α,β-dibromo-Δα.β-crotonolactone (I) with 0.033 mole Na salt of the corresponding carboxylic aci d in C6H6 or MeCN gave the following II (X, R1, R2, % yield, and m.p. given): Br, Me, Me (III), 76, 92° (cyclohexane); Br, Et, Me, 50, 67.5-8.5° (cyclohexane); Br, Pr, Me, 68, 70.5-1.0° (cyclohexane); Br, iso-Pr, Me, 52, 61-2.5° (heptane); Br, amyl, Me (IV), 41, 48.5-9.5° (hexane); Br, Ph, Me, 56, 171-3° (MeOH); Br, 3,4-CH2O2C6H3, Me, 61, 144-6° (EtOH); Cl, Me, Me (V), 67, 78-9° (MeOH); Br, Me, Bu (VI), 64, 78-9° (hexane); Br, Me, amyl, 56, 56-7° (MeOH). When 3 g I (alkyl = Me) was kept with 6 g p-HOC6H4CO2H in 1.1 ml NEt3 and 52 ml MeCN 12 hr, the mixture refluxed 2 hr, and worked up as usual, 0.6 g VII (R = C6H4CO2H-p) (VIII) m. 175-7° (EtOH), was obtained. The structure of III was confirmed by methanolysis with 0.25% alc. H2SO4 yielding 55% VII (R = Me), m. 122.5-4.0° (cyclohexane), and by refluxing with MeOH saturated with HCl to give 77% p-MeOC6H4COCBr:CHCO2Me, m. 99-100° (cyclohexane). A solution of III in C6H6 was kept with a 10% solution of PrNH2 in C6H6 48 hr to give p-MeOC6H4COCBr:-CHCONHPr, m. 140° (cyclohexane). Treating 14 g III in C6H6 with a mixture of 3 g NH2OH.HCl, 20 ml MeOH, and 5.9 ml NEt3, keeping the mixture 30 min, adding another 5.5 m l NEt3 and chromatog. on a silica gel column afforded 2.75 g p-MeOC6H4-COCBr:CHCONHOH (IX) (monohydrate), m. 86-93° (H2O). V and IX showed a weak cancerostatic effect while IV, VI, VII, and IX prolonged the survival of mice with exptl. tumors.
IT **24576-03-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(as neoplasm inhibitor)
RN 24576-03-2 CAPLUS
CN Acrylohydroxamic acid, 3-p-anisoyl-3-bromo- (8CI) (CA INDEX NAME)



IT **24576-02-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 24576-02-1 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-bromo-N-propyl- (8CI) (CA INDEX NAME)

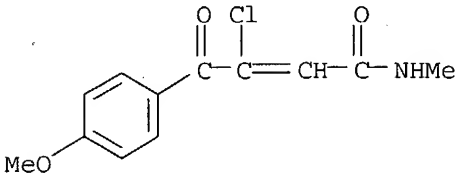


L4 ANSWER 21 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:446114 CAPLUS
DOCUMENT NUMBER: 71:46114
TITLE: Cancerostatic agents. XXXVII. Effect of β-aroyl β-halogen acrylic acids on tetrahydrofolic acid formylase
AUTHOR(S): Slavikova, Vera; Semonsky, M.; Slavik, K.; Zikan, V.; Volejnikova, J.
CORPORATE SOURCE: Inst. Hematol. and Blood Transfus., Prauge, Czech.
SOURCE: Biochemical Pharmacology (1969), 18(6), 1455-61
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English

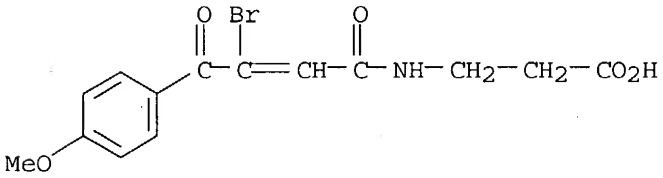
AB Several derivs. and analogs of β-4-methoxybenzoyl-β-bromoacrylic acid (I) have been tested as inhibitors of tetrahydrofolate formylase from pigeon liver and the effect of structural changes on the inhibitory activity has been studied. The inhibitory effect is dependent on a halogen atom in the β-position of the acrylate moiety adjoining the double bond and further by the free carboxyl of the acrylate moiety. Every change in this area leads to complete loss of the inhibitory activity. Substitution of the 4 position in the aromatic nucleus by an alkyl or alkoxy group enhances the inhibitory effect, the length of the aliphatic chain being without considerable effect. Substitution by an acetamido group suppresses the effect considerably. The methylation or methoxylation of the aromatic nucleus in the 2, 3, and 6 positions considerably diminishes the inhibitory activity, but it does not eliminate completely the inhibitory effect. Preincubation of I with tetrahydrofolate formyl-ase does not influence the inhibitory effect; the dialysis of the enzyme-I mixture (either preincubated or not) leads to complete recovery of tetrahydrofolate formylase activity. The inhibition of the enzyme by I and similar substances seems to be completely reversible.

IT **19419-32-0 24576-05-4 24628-88-4**
24850-95-1 24850-96-2 24851-00-1
24851-01-2 24851-02-3
RL: BIOL (Biological study)
(formyltetrahydrofolate synthetase inhibition by)

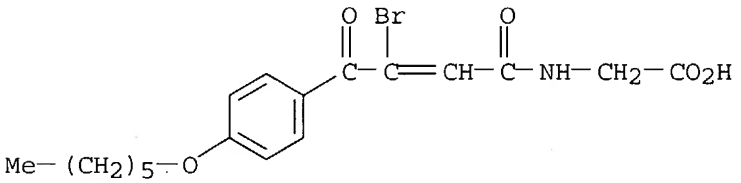
RN 19419-32-0 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-chloro-N-methyl- (7CI, 8CI) (CA INDEX NAME)



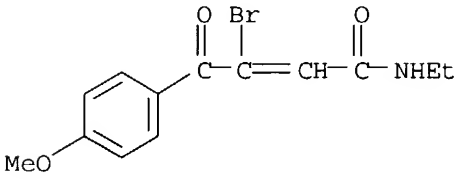
RN 24576-05-4 CAPLUS
CN β-Alanine, N-[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]- (9CI) (CA INDEX NAME)



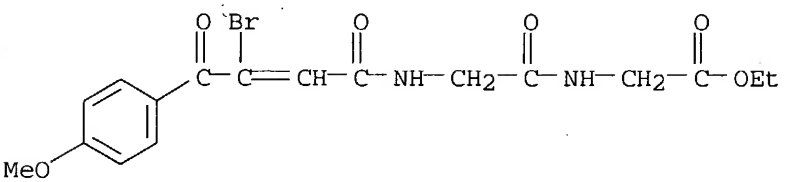
RN 24628-88-4 CAPLUS
CN Glycine, N-[3-bromo-4-[4-(hexyloxy)phenyl]-1,4-dioxo-2-butenyl]- (9CI) (CA INDEX NAME)



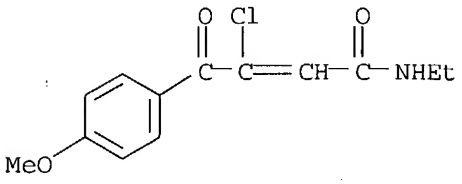
RN 24850-95-1 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-bromo-N-ethyl- (8CI) (CA INDEX NAME)



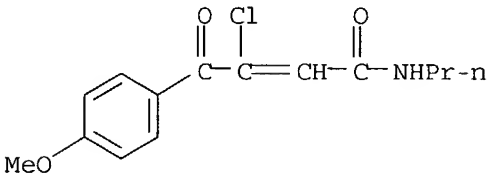
RN 24850-96-2 CAPLUS
CN Glycine, N-[N-(3-p-anisoyl-3-bromoacryloyl)glycyl]-, ethyl ester (8CI) (CA INDEX NAME)



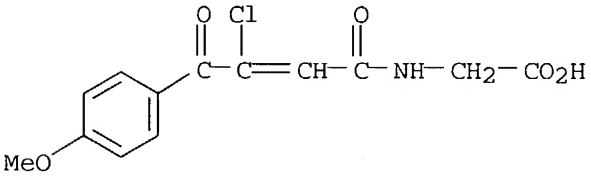
RN 24851-00-1 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-chloro-N-ethyl- (7CI, 8CI) (CA INDEX NAME)



RN 24851-01-2 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-chloro-N-propyl- (7CI, 8CI) (CA INDEX NAME)



RN 24851-02-3 CAPLUS
CN Glycine, N-(3-p-anisoyl-3-chloroacryloyl)- (8CI) (CA INDEX NAME)



L4 ANSWER 22 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:96358 CAPLUS
DOCUMENT NUMBER: 70:96358
TITLE: Substances with antineoplastic activity. XXXI. Amides of cis- β-(p-methoxybenzoyl)-β-bromoacrylic acid (cis Br, H) and of its β-chloro analog
AUTHOR(S): Zikan, Viktor; Cerny, Antonin; Semonsky, Miroslav
CORPORATE SOURCE: Vyzk. Ustav Farm. Biochem., Prague, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1969), 34(4), 1343-7
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English

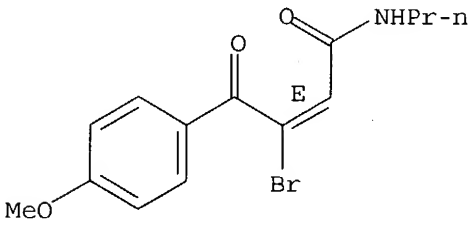
AB A suspension of 0.01 mole γ-(4-methoxyphenyl)-γ-methoxy-β-bromo-γ-crotonolactone (or its β-chloro analog) in 15 ml. EtOH treated at 5° with a solution of 0.05 mole the corresponding amino compound in 20 ml. EtOH, the mixture kept at room temperature 6 days, poured into H2O, and brought to pH 5-6 gave the following p-MeOC6H4COCR':CHCONHR (R, R', m.p., and % yield given): H, Br (I), 118-20° (CHCl3), 90; Et, Br, 156-7° (C6H6-Me2CO), 92; Pr, Br, 145-6° (C6H6), 77; Bu, Br, 125-6° (C6H6), 93; CH2:CHCH2, Br, 138-40° (C6H6-Me2CO), 87; H2NCH2CH2, Br (II), 145-8°, 42; HOCH2CH2, Br, 155-6°

(EtOAc), 99; EtOCOCH2, Br (III), 140-1° (aqueous EtOH), 98; iso-Pr, Cl, 147-9° (C6H6), 86; CH2:CHCH2, Cl, 127-9° (C6H6-hexane), 88; HOCH2CH2, Cl, 146-7° (EtOH-hexane), 98; and EtOCOCH2, Cl (IV), 115-16° (Me2CO-hexane), 76. II was accompanied by 9% N,N'-bis[β-(p-methoxybenzoyl)-β-bromoacryloyl] ethylenediamine, m. 201-2° (aqueous EtOH). A solution of 5.7 g. p-MeOC6H4COCBr:CHCO2H (V) in 24 ml. HCONMe2 treated dropwise at 0° with 2.62 g. SOCl2, the mixture kept 24 hrs. at 0°, treated dropwise with 6.8 g. piperidine in 5 ml. HCONMe2, kept at 0° overnight, and poured on ice gave 58% V-piperidide, m. 104-5° (EtOAc). Saponification of III and IV, resp., at room temperature with aqueous-methanolic NaOH gave after acidification 99% p-Me-OC6H4COCBr:CHCONHCH2CO2H, m. 171-2° (aqueous EtOH), and 95% p-MeOC6H4COCCl:CHCONHCH2CO2H, m. 218-20° (H2O). A mixture of 1.42 g. I, 0.06 g. Na2CO3, and 0.9 g. 36.7% aqueous HCHO heated 1 min. on a steam bath, diluted with 5 ml. H2O, and heated 10 min. gave 43% p-MeOC6H4COCBr:CHCONHCH2OH (VI), m. 177-8° (Me2CO). The Cl analog of VI, m. 169-71° (Me2CO), was prepared analogously (yield 94%). The amides showed a lower antineoplastic activity than the corresponding free acids.

IT **22242-21-3P 22242-22-4P 22242-23-5P**
22252-29-5P 22252-30-8P 22252-31-9P
22252-32-0P 22252-33-1P 22252-34-2P
22252-35-3P 22252-37-5P 22267-83-0P
22267-84-1P 22268-25-3P 22268-26-4P
22344-53-2P 22344-54-3P 22344-55-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

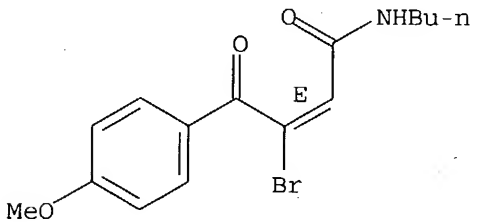
RN 22242-21-3 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-bromo-N-propyl-, (E)- (8CI) (CA INDEX NAME)

Double bond geometry as shown.



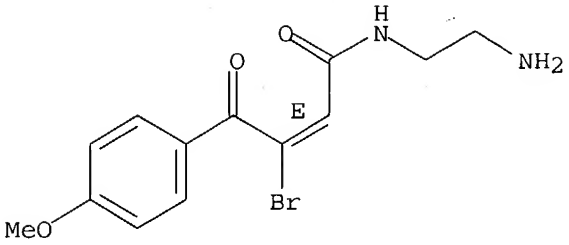
RN 22242-22-4 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-bromo-N-butyl-, (E)- (8CI) (CA INDEX NAME)

Double bond geometry as shown.



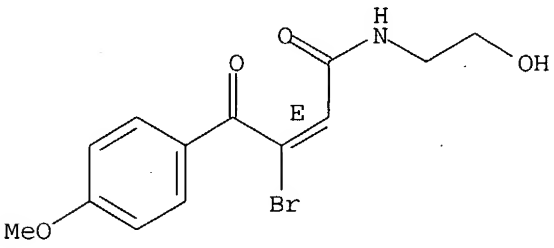
RN 22242-23-5 CAPLUS
CN Acrylamide, N-(2-aminoethyl)-3-p-anisoyl-3-bromo-, (E)- (8CI) (CA INDEX NAME)

Double bond geometry as shown.



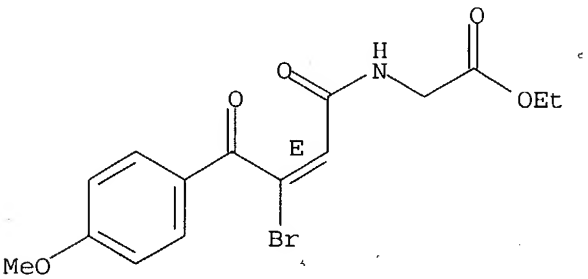
RN 22252-29-5 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-bromo-N-(2-hydroxyethyl)-, (E)- (8CI) (CA INDEX NAME)

Double bond geometry as shown.



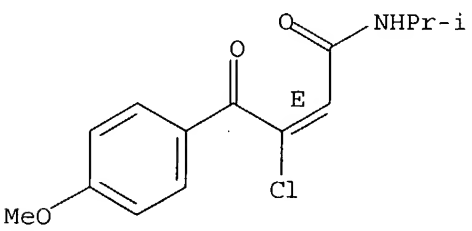
RN 22252-30-8 CAPLUS
CN Glycine, N-(3-p-anisoyl-3-bromoacryloyl)-, ethyl ester, (E)- (8CI) (CA INDEX NAME)

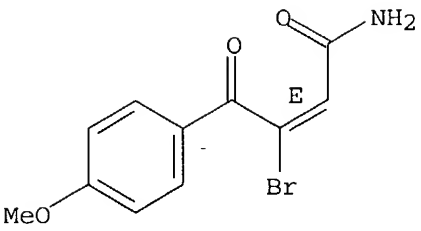
Double bond geometry as shown.



RN 22252-31-9 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-chloro-N-isopropyl-, (E)- (8CI) (CA INDEX NAME)

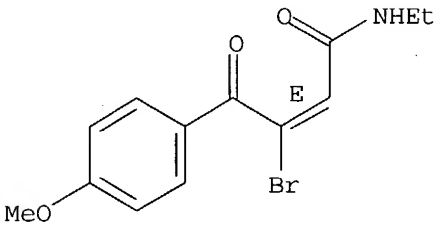
Double bond geometry as shown.





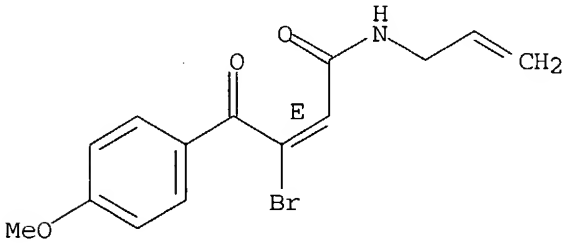
RN 22344-54-3 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-bromo-N-ethyl-, (E)- (8CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 22344-55-4 CAPLUS
CN Acrylamide, N-allyl-3-p-anisoyl-3-bromo-, (E)- (8CI) (CA INDEX NAME)

Double bond geometry as shown.

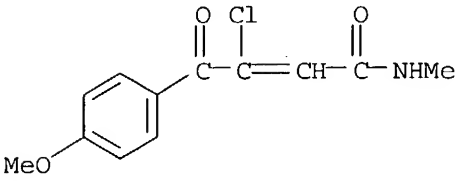


L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:113869 CAPLUS
DOCUMENT NUMBER: 68:113869
TITLE: Substances with antineoplastic activity. XXI. Spectral and polarographic properties of β-(4-methoxybenzoyl)-β-bromo- and B-chloracrylic acids and related compounds
AUTHOR(S): Kakac, Bohumil; Mnoucek, K.; Zuman, Petr; Semonsky, Miroslav; Zikan, Viktor; Cerny, Antonin
CORPORATE SOURCE: Vyzk. Ustav Farm. Biochem., Prague, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1968), 33(4), 1256-77
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Spectroscopic and polarographic behavior of antineoplastically active cis-β-(4-methoxybenzoyl)-β-bromoacrylic acid and its -β-chloro analog was interpreted by analogy with the Me ester of the bromo acids, with the benzoylacrylic and benzoylpropionic acids and with

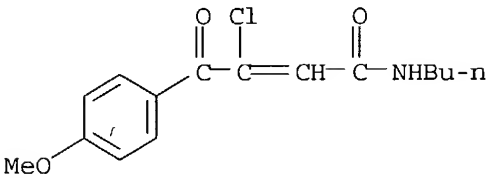
secondary and tertiary amides of the chloro acid. In the physiol. pH range, both antineoplastically active substances exist in the acyclic form. Based on the data obtained, structural problems were discussed at length. Tables of spectral data and E1/2 values were given. 31 references.

IT **19419-32-0 19419-33-1 19419-34-2**
RL: PROC (Process)
(polarography of)

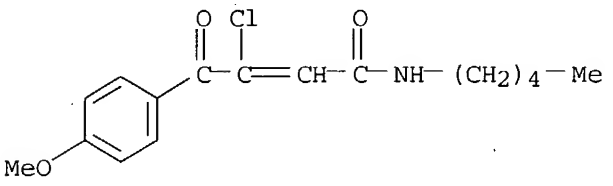
RN 19419-32-0 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-chloro-N-methyl- (7CI, 8CI) (CA INDEX NAME)



RN 19419-33-1 CAPLUS
CN Acrylamide, 3-p-anisoyl-N-butyl-3-chloro- (7CI, 8CI) (CA INDEX NAME)



RN 19419-34-2 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-chloro-N-pentyl- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 24 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:105216 CAPLUS
DOCUMENT NUMBER: 68:105216
TITLE: 7-(Substituted propionamido)cephalosporanic acid and derivatives
INVENTOR(S): Takano, Tadayoshi; Hattori, Kiyoshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3338896		19670829	US	19650310

GI For diagram(s), see printed CA Issue.

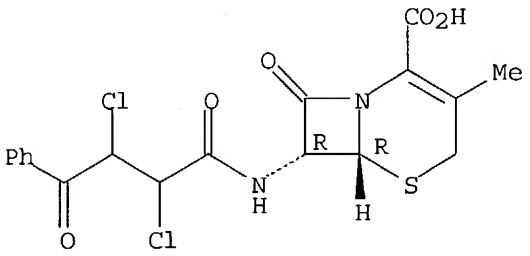
AB The title compds. of general formula I where R is aryl, arylcarbonyl, 5-membered heterocyclic; R1 and R2 are H, halogen, or aryl; R3 is halogen; R4 is H or pyridinium; and M is H, a pharmaceutically acceptable non-toxic cation (Na, K, NH4 or organic ammonium cation) or an anionic charge, which are useful antimicrobial agents against a wide variety of microorganisms, may be prepared by reacting 7-aminocephalosporanic acid (7-amino-3-acetoxylmethyl-3-cephem-4-carboxylic acid (II) or its derivs. of general formula III with a substituted propionic acid of formula RR1R2CCR3HCO2H or its reactive derivs. under mild conditions. Thus, to a solution containing 540 mg. II and 200 mg. NaHCO3 in 30 cc. aqueous 60% acetone is added 500 mg. 2,3-dichloro-2-phenylpropionyl chloride in 5 cc. acetone, under ice-cooling, the mixture stirred 3 hrs. under ice-cooling, kept overnight, and evaporated in vacuo, the concentrate adjusted to pH 3.0 with H2SO4, the crystals extracted with ether, the extract distilled in vacuo, the residual material digested with benzene, the saturated solution condensed in vacuo, CHCl3 added, and the liquid is kept in an ice-box to give 265 mg. 7-(2,3-dichloro-3-phenylpropionamido)cephalosporanic acid, m. 157-9°, λ (80% EtOH) 263 mμ (E 162), Rf 0.86 (BuOH-EtOH-H2O 4:1:5) and Rf 0.85 (BuOH-pyridine-H2O 1:1:1), by upper layer, ascending method; min. inhibitory concentration (MIC): Escherichia coli 40 γ/cc., Staphylococcus aureus 0.4 γ/cc. Similarly prepared is 7-(2,3-dibromo-3-phenylpropionamido)cephalosporanic acid, m. 84-7° (decomposition), λ (80% EtOH.NaOH) 277 mμ (E 388), Rf 0.80 (BuOH-EtOH-H2O 4:1:5) and Rf 0.97 (BuOH-pyridine-H2O 1:1:1); MIC: E. coli 40 γ/cc., S. aureus 2.5 γ/cc. [TABLE OMITTED] Other I similarly prepared are tabulated.

IT **18196-91-3P 18196-92-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 18196-91-3 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-(3-benzoyl-2,3-dichloropropionamido)-3-methyl-8-oxo- (8CI) (CA INDEX NAME)

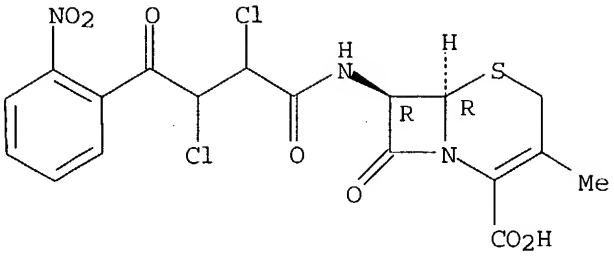
Absolute stereochemistry.



RN 18196-92-4 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[2,3-dichloro-3-(o-nitrobenzoyl)propionamido]-3-methyl-8-oxo- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 25 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:12983 CAPLUS
DOCUMENT NUMBER: 68:12983
TITLE: 7-Aminocephalosporanic acid derivatives
INVENTOR(S): Takano, Tadayoshi; Nakanishi, Kazuo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 42010999	B4	19670617	JP	19641212

GI For diagram(s), see printed CA Issue.

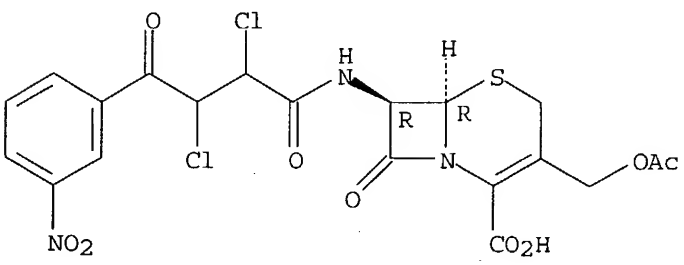
AB Manufacture of 7-[2,3-dichloro-3-(3-nitrobenzoyl)propionamido]cephalosporanic acid (I), useful as bactericide inhibiting growth of Staphylococcus aureus, was described. Thus, 610 mg. 2,3-dichloro-3-(3-nitrobenzoyl)propionic acid is dissolved in 22 cc. tetrahydrofuran containing 43 g. dicyclohexyl-carbodiimide, the whole stirred at room temperature, a mixture of 540 mg. 7-aminocephalosporanic acid, 180 mg. NaHCO3, 15 cc. H2O, and 5 cc. tetrahydrofuran dropped in, the whole stirred at room temperature 6 hrs., kept 2 days, and filtered, tetrahydrofuran removed from the filtrate, the residual solution adjusted to pH 8 with NaHCO3 and filtered, and the filtrate adjusted to pH 1 with HCl and extracted with AcOEt to give 15 mg. I, m. 95-118° (decomposition).

IT **16461-66-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 16461-66-8 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[2,3-dichloro-3-(m-nitrobenzoyl)propionamido]-3-(hydroxymethyl)-8-oxo-, acetate (ester) (8CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 26 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:454148 CAPLUS
DOCUMENT NUMBER: 67:54148
TITLE: 7-(Substituted propionamido)cephalosporanic acid and derivatives
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd.
SOURCE: Brit., 7 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1065517		19670419		
DE 1545795			DE	
FR 5395			FR	
JP 42003169		19670000	JP	
PRIORITY APPLN. INFO.:			JP	19640314
			JP	19640723

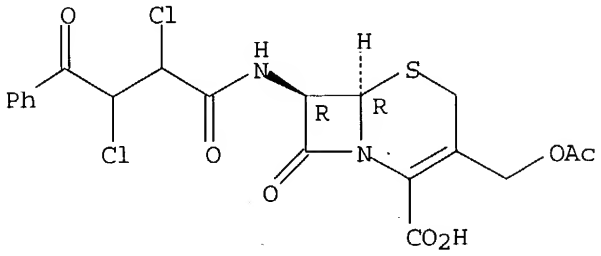
GI For diagram(s), see printed CA Issue.

AB The title compds. I, which are useful as antimicrobial agents and are resistant to penicillinase and to acids, were prepared by treating 7-aminocephalosporanic acid (II) with a suitably substituted propionic acid or derivative in the presence of a condensing agent. An ice-cooled solution of 540 mg. II and 200 mg. NaHCO3 in 30 cc. aqueous Me2CO (60%) was treated with a solution of 500 mg. PhCHClCHClCOCl (III) in 5 cc. Me2CO, stirred 36 hrs., kept overnight, condensed in vacuo, the residual solution adjusted to pH 3 with H2SO4, the precipitated crystals collected by filtration, the crystals extracted with Et2O, the Et2O distilled in vacuo, and the residue treated with C6H6 and CHCl3 to give 265 mg. I (R = Ph, R1 = H, R2 = R3 = Cl) (Ia), m. 157-9°. Et3N and dicyclohexylcarbodiimide were similarly employed as condensing agents. The following I were similarly prepared [R, R1, R2, R3, and m.p. (decomposition) given]: Ph, H, H, Br, 84-7°; thienyl, H, Cl, Cl, 121-4°; p-ClC6H4, H, Cl, Cl, 109-12°; Ph, H, H, Cl, 141-3°; p-O2NC6H4, H, Cl, Cl, 157-60°; Ph, H, Cl, H, 119-22°; Ph, Cl, Cl, Cl, 125-30°; Ph, H, Br, Br, 105-7°; Ph, H, OMe, Cl, 157-9°; p-ClC6H4, H, OMe, Cl, 120-3°; Bz, H, H, Cl, 89-93°; Bz, H, Cl, Cl, 66-72°; o-O2NC6H4CO, H, Cl, Cl, 95-118°. A solution of 7-amino-3-pyridiniummethyldecephalosporanic acid inner salt (IV) and III reacted in the presence of NaHCO3, the mixture was adjusted to pH 5.5-6.5, treated with Et2O, and the aqueous layer refined through a column packed with an anion-exchange resin to give 7-(2,3- dichloro-3-phenylpropionamido)-3-pyridiniummethyldecephalosporanic acid inner salt, m. 165-70°. Similarly prepared was 7-[2,3-dichloro-3-(p-chlorophenyl)propionamido] - 3 - pyridiniummethyldecephalosporanic acid inner salt, m. 165-70°. A solution of dicyclohexylamine (V) in Me2CO was added dropwise to Ia, the mixture kept overnight, and refrigerated to give the V salt of Ia, m. 153-5° (decomposition). The Na salt of Ia was similarly prepared

IT **14785-63-8P 14785-64-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 14785-63-8 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-(3-benzoyl-2,3-dichloropropionamido)-3-(hydroxymethyl)-8-oxo-, acetate

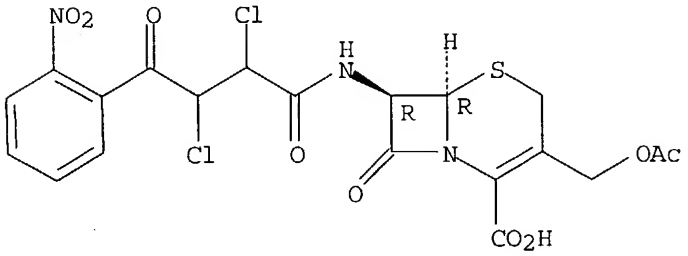
(ester) (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 14785-64-9 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[2,3-dichloro-3-(o-nitrobenzoyl)propionamido]-3-(hydroxymethyl)-8-oxo-, acetate (ester) (8CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 27 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:454144 CAPLUS
DOCUMENT NUMBER: 67:54144
TITLE: 7-(α,β-Unsaturated acylamino)cephalosporanic acid and derivatives
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd.
SOURCE: Brit., 12 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1058535		19670215		
DE 1545796			DE	
FR 5396			FR	
JP 41016950		19660000	JP	
JP 43005888		19680000	JP	
JP 44010555		19690000	JP	
US 3453272		19690000	US	
PRIORITY APPLN. INFO.:			JP	19670314
			JP	19640723

GI For diagram(s), see printed CA Issue.
AB The title compds. (I), which are useful as antimicrobial agents and are resistant to penicillinase and acids, were prepared by reacting 7-aminocephalosporanic acid (II) or a derivative of II with a suitable

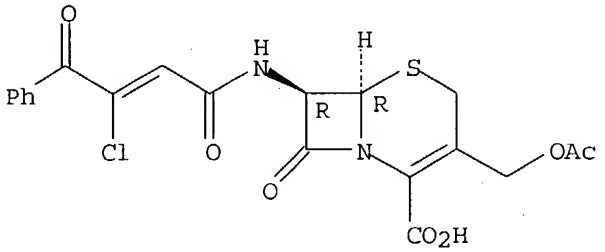
α,β-unsatd. carboxylic acid in the presence of a condensing agent. A solution of 540 mg. II and 130 mg. NaHCO3 in 10 cc. 50% aqueous Me2CO was treated with 0.5 cc. saturated NaHCO3 solution, then dropwise with cooling, with a solution of 450 mg. PhCH:CHCOCl in 4 cc Me2CO, the mixture stirred 2 hrs. at room temperature, kept overnight, adjusted to pH 2, extracted with EtOAc, and the extract fractionated in vacuo to give 370 mg. I (R1 = Ph, R2 = R3 = H) (Ia), m. 171-3° (Me2CO and H2O). The. following I were similarly prepared (R1, R2, R3, and m.p. given): o-O2NC6H4, H, H, 94-102° (decomposition); m-O2NC6H4, H, H, 115-25° (decomposition); p-O2NC6H4, H, H, -; o-ClC6H4, H, H, 193-4°; p-ClC6H4, H, H, 178-85° (decomposition); Ph, Cl, H, 125-30° (decomposition); Ph, Br, Br, 98-106° (decomposition); p-O2NC6H4, H, 1-cyclohexenyl, 64-84° (decomposition); O2NC6H4, H, Ph, (Ib), -; H, Ph, Ph, 111-14° (decomposition); H, 2-trienyl, H, 154-6° (decomposition); H, 2-thienyl, Me, 145-8°; H, 2-thienyl, Ph, 95-8°; H, 2-furyl, H, -; H, 5-nitro-2-furyl, H, 150° (decomposition); H, 2-furyl, Ph, 116-19° (decomposition); H, 2-furyl, 1-cyclohexenyl, 98-104° (decomposition); H, 2-thienyl, 1-cyclohexenyl, -; H, Bz, H, 96-120° (decomposition); H, m-O2NC6H4CO, H, 180° (decomposition); Cl, Bz, H, 115-20° (decomposition); Me, PhO, H, 77° (decomposition); H, PhS, Cl, 198-208° (decomposition); Me, PhS, H, 75-84° (decomposition); Me, 2-thienylthio, H, 75-89°,-; MeCH:CH, H, H, 146-58° (decomposition). A solution of 300 mg. Ia in Me2CO was treated with C5H5N and kept 30 hrs. at 37-40° in a current of N with intermittent shaking. The mixture was treated with EtOAc, the aqueous layer condensed in vacuo, the residue dissolved in H2O, and purified through a column packed with an ion exchange resin to give 170 mg. 7-cinnamideo-3-(pyridiniummethyl)decephalosporanic acid inner salt, m. 190-2° (decomposition). Similarly prepared was 7-cinnamido-3-(2-aminopyridiniummethyl)decephalosporanic acid inner salt, m. 160-3° (decomposition). An aqueous solution of Ia was treated dropwise with a solution of dicyclohexylamine (III) in Me2CO at room temperature under vigorous stirring and then refrigerated to give the III salt of Ia, m. 201-3° (decomposition). Similarly prepared were the dibenzylethylenediamine salt of Ia, m. 174-6° (decomposition); the Na salt of Ia, m. 182-200° (decomposition); and the III salt of Ib, m. 123-31° (decomposition).

IT **14834-52-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 14834-52-7 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-(3-benzoyl-3-chloroacrylamido)-3-(hydroxymethyl)-8-oxo-, acetate (ester) (8CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L4 ANSWER 28 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1967:65463 CAPLUS
DOCUMENT NUMBER: 66:65463
TITLE: 7-Aminocephalosporanic acid derivatives
INVENTOR(S): Takano, Tadayoshi; Hattori, Kiyoshi; Kishimoto, Teiji
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 41017463	B4	19641004	JP	19640723

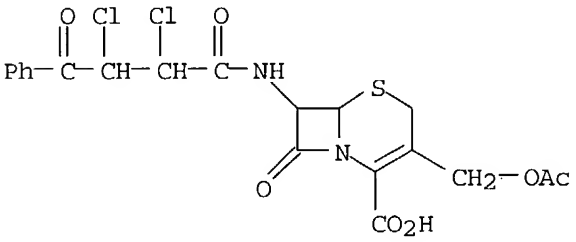
GI For diagram(s), see printed CA Issue.

AB Manufacture of I, useful as antibacterial drugs resistant to acid and penicillinase, by the reaction of 7-aminocephalosporanic acid (II) with BzCR1HCR2-HCO2H (III) is described. In an example, a solution of 590 mg. III (R1 = R2 = H) in 15 cc. CHCl3 is gradually added to a cold (0-5°) mixture of 816 mg. II, 50 cc. CHCl3, and 1.5 cc. Et3N, the whole stirred at 0-5° for 30 min., then at room temperature for 3 hrs. more, kept overnight, adjusted to pH 1.0 with 5% HCl, washed with H2O, and evaporated to give 480 mg. I (R1 = R2 = H), m. 83-90° (decomposition). Similarly prepared are the following I (R1, R2, and m.p. given): H, Cl, 89-93°; Cl, Cl, 66-72° (decomposition).

IT **14346-04-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

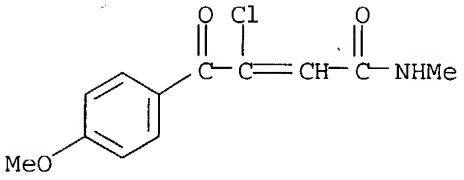
RN 14346-04-4 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-(3-benzoyl-2,3-dichloropropionamido)-3-(hydroxymethyl)-8-oxo-, acetate (ester) (8CI) (CA INDEX NAME)

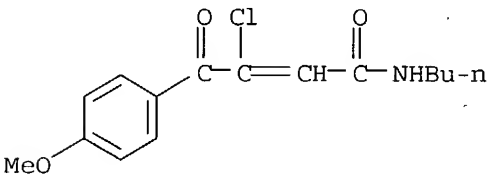


L4 ANSWER 29 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1964:94151 CAPLUS
DOCUMENT NUMBER: 60:94151
ORIGINAL REFERENCE NO.: 60:5389b-h
TITLE: Compounds with antineoplastic activity. VI. Aminolysis of γ-aryl-α,β-dihalo-Δα,β-crotonolactone; some substituted β-aroyl-β-haloacrylamides, β-aroyl-β-halopropionamides, and β-aroylpropionamides
AUTHOR(S): Semonsky, M.; Cerny, A.; Kakac, B.; Subrt, V.
CORPORATE SOURCE: Vyzkumny Ustav Farm. Biochem., Prague
SOURCE: Collection of Czechoslovak Chemical Communications (1963), 28(12), 3278-89
CODEN: CCCCAK; ISSN: 0010-0765

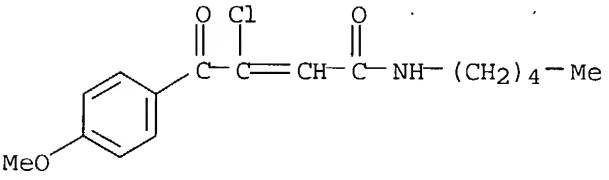
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. CA 59, 3822c. Reaction of I-V, p-MeOC6H4COCCl:CHCOCl (VI), and p-MeOC6H4COCBr:CHCOCl (VII) with amines was studied. The following p-MeOC6H4COCCl:CHCOR [H and Cl cis]
IT **19419-32-0**, Acrylamide, 3-p-anisoyl-3-chloro-N-methyl-
19419-33-1, Acrylamide, 3-p-anisoyl-N-butyl-3-chloro-
19419-34-2, Acrylamide, 3-p-anisoyl-3-chloro-N-pentyl-
24851-00-1, Acrylamide, 3-p-anisoyl-3-chloro-N-ethyl-
24851-01-2, Acrylamide, 3-p-anisoyl-3-chloro-N-propyl-
91349-14-3, Acrylamide, 3-p-anisoyl-3-chloro- **91844-23-4**
, Acrylamide, 3-p-anisoyl-3-bromo-N-methyl- **93257-49-9**,
Propionamide, 3-p-anisoyl-3-chloro-N-methyl-2-(methyl-amino)-
93320-13-9, Acrylanilide, 3-p-anisoyl-3-chloro- **93868-59-8**
, Acrylamide, 3-p-anisoyl-N-benzyl-3-chloro- **95319-05-4**,
Propionanilide, 2-anilino-3-p-anisoyl-3-chloro-
(preparation of)
RN 19419-32-0 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-chloro-N-methyl- (7CI, 8CI) (CA INDEX NAME)



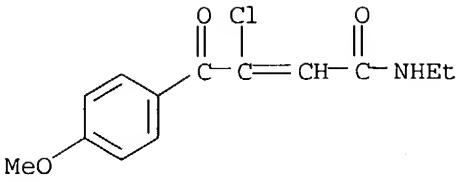
RN 19419-33-1 CAPLUS
CN Acrylamide, 3-p-anisoyl-N-butyl-3-chloro- (7CI, 8CI) (CA INDEX NAME)



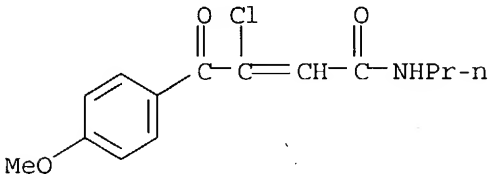
RN 19419-34-2 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-chloro-N-pentyl- (7CI, 8CI) (CA INDEX NAME)



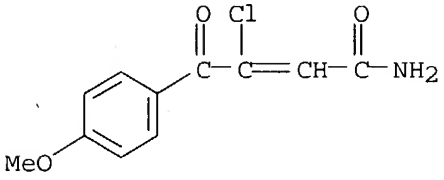
RN 24851-00-1 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-chloro-N-ethyl- (7CI, 8CI) (CA INDEX NAME)



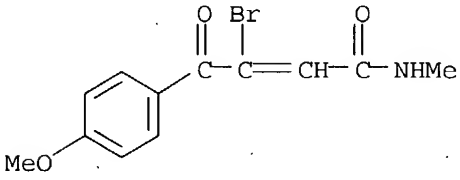
RN 24851-01-2 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-chloro-N-propyl- (7CI, 8CI) (CA INDEX NAME)



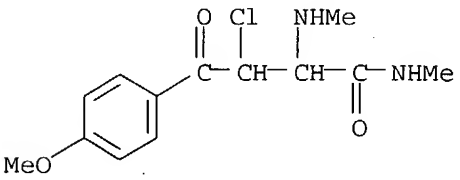
RN 91349-14-3 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-chloro- (7CI) (CA INDEX NAME)



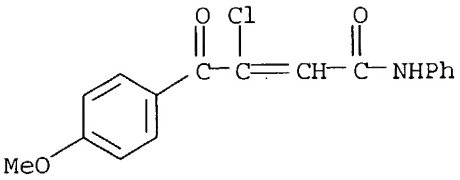
RN 91844-23-4 CAPLUS
CN Acrylamide, 3-p-anisoyl-3-bromo-N-methyl- (7CI) (CA INDEX NAME)



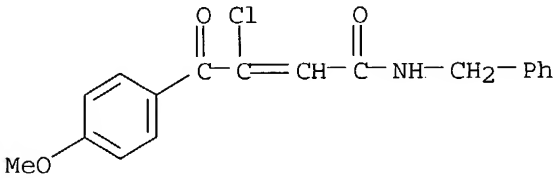
RN 93257-49-9 CAPLUS
CN Propionamide, 3-p-anisoyl-3-chloro-N-methyl-2-(methylamino)- (7CI) (CA INDEX NAME)



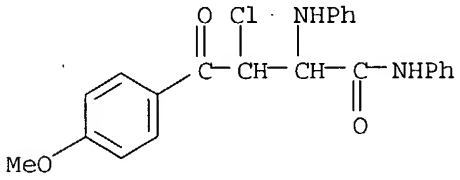
RN 93320-13-9 CAPLUS
CN Acrylanilide, 3-p-anisoyl-3-chloro- (7CI) (CA INDEX NAME)



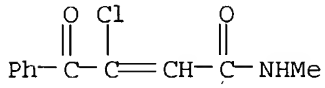
RN 93868-59-8 CAPLUS
CN Acrylamide, 3-p-anisoyl-N-benzyl-3-chloro- (7CI) (CA INDEX NAME)



RN 95319-05-4 CAPLUS
CN Propionanilide, 2-anilino-3-p-anisoyl-3-chloro- (7CI) (CA INDEX NAME)



IT **91348-98-0**, Acrylamide, 3-benzoyl-3-chloro-N-methyl-
(spectrum of)
RN 91348-98-0 CAPLUS
CN Acrylamide, 3-benzoyl-3-chloro-N-methyl- (7CI) (CA INDEX NAME)



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CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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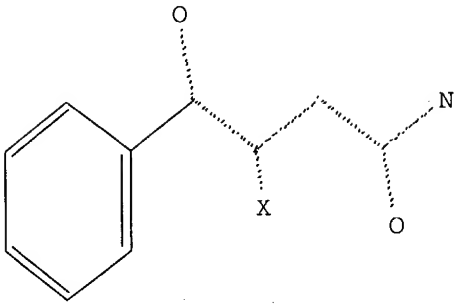
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que
L1 STR



Structure attributes must be viewed using STN Express query preparation.
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L5 2 SEA L3

=> d l5 1-2 ibib abs hitstr

L5 ANSWER 1 OF 2 USPATFULL on STN

ACCESSION NUMBER:	92:106844 USPATFULL		
TITLE:	Acryloyl substituted pyrrole derivatives		
INVENTOR(S):	Mongelli, Nicola, Milan, Italy Biasoli, Giovanni, Gavirate, Italy Capolongo, Laura, Milan, Italy Pezzoni, Gabriella, Milan, Italy		
PATENT ASSIGNEE(S):	Farmitalia Carlo Erba Srl, Milan, Italy (non-U.S. corporation)		

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5175182		19921229	
	WO 9011277		19901004	
APPLICATION INFO.:	US 1990-613490		19901105	(7)
	WO 1990-EP471		19900322	
			19901105	PCT 371 date
			19901105	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1989-6709	19890323

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Lee, Mary C.
ASSISTANT EXAMINER:	McKane, Joseph K.
LEGAL REPRESENTATIVE:	Nikaido, Marmelstein, Murray & Oram
NUMBER OF CLAIMS:	6
EXEMPLARY CLAIM:	1
LINE COUNT:	458

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to acryloyl substituted pyrrole derivatives of formula (I) ##STR1## wherein n is an integer of 1 to 5;

each of R.sub.1 and R.sub.2, which may be the same or different, is hydrogen, halogen, --CN, --NO.sub.2, C.sub.1 -C.sub.4 alkyl, or a group ##STR2## R.sub.3 is hydrogen, halogen, --CN, or --NO.sub.2 ; each R.sub.4 is, independently, hydrogen or C.sub.1 -C.sub.4 alkyl;

A is a bond, a group ##STR3## or a group --NH--Het--CO--, wherein Het

is a saturated or unsaturated pentatomic or hexatomic heteromonocyclic ring; and

B is a group ##STR4## in which m is 1, 2 or 3 and each R.sub.5 is, independently, a C.sub.1 -C.sub.4 alkyl group, and pharmaceutically acceptable salts thereof, which are useful as antineoplastic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

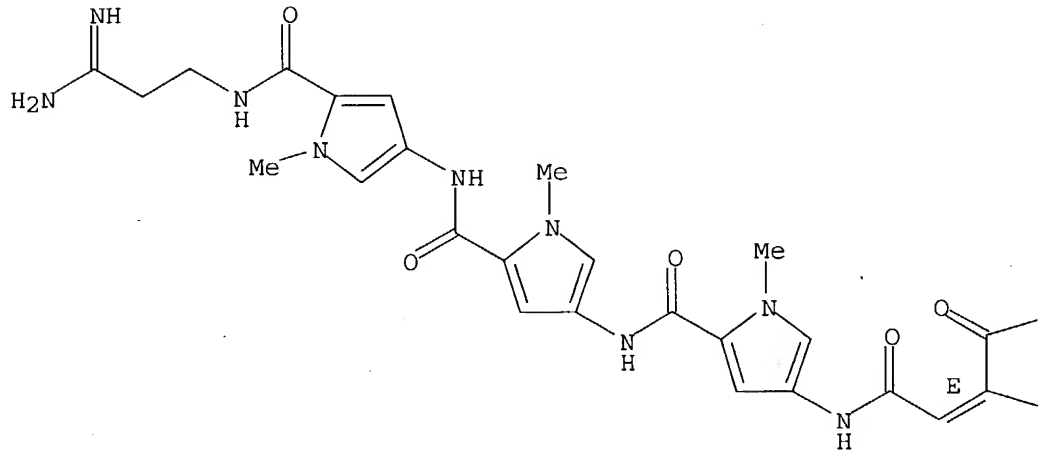
IT **132268-29-2P**
(preparation of, as antineoplastic agent)

RN 132268-29-2 USPATFULL

CN 1H-Pyrrole-2-carboxamide, N-[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-4-[[[4-[[3-bromo-4-(4-methoxyphenyl)-1,4-dioxo-2-butenyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-, monohydrochloride, (E)- (9CI) (CA INDEX NAME)

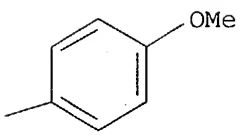
Double bond geometry as shown.

PAGE 1-A



● HCl

PAGE 1-B



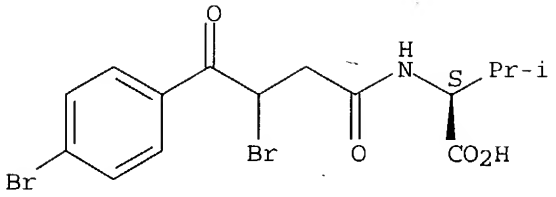
L5 ANSWER 2 OF 2 USPATFULL on STN
ACCESSION NUMBER: 84:12836 USPATFULL
TITLE: Substituted N-(ω-aroylpropionyl) derivatives of α-amino acids and esters thereof
INVENTOR(S): McEvoy, Francis J., Pearl River, NY, United States
Albright, Jay D., Nanuet, NY, United States
PATENT ASSIGNEE(S): American Cyanamid Company, Stamford, CT, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4435329		19840306	
APPLICATION INFO.:	US 1981-312119		19811016	(6)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Jiles, Henry R.
ASSISTANT EXAMINER: Whittenbaugh, Robert C.
LEGAL REPRESENTATIVE: Timbers, Mary-Ellen M.
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1
LINE COUNT: 1252
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel compounds are described having the formula ##STR1## wherein Z is ##STR2## R.sub.1 is hydrogen or a C.sub.1 -C.sub.4 lower alkyl; R.sub.2 is hydrogen, a C.sub.1 -C.sub.4 lower alkyl, hydroxy-R.sub.8 -, lower alkyl-R.sub.8 -, mercapto-R.sub.8 -, cyclohexyl, cyclopentyl, phenyl, phenyl-R.sub.8 -, indolyl-R.sub.8 -, carboxy-R.sub.8 -, amino-R.sub.8 - or carbamoyl-R.sub.8 -, wherein R.sub.8 - is a divalent C.sub.1 -C.sub.6 straight chain parafinic moiety; R.sub.3 is hydrogen or C.sub.1 -C.sub.4 lower alkyl; R.sub.4 is hydrogen, lower alkanoyl, benzoyl or phenyl-substituted-lower alkanoyl; R.sub.5 is hydrogen or a C.sub.1 -C.sub.4 lower alkyl; R.sub.1, R.sub.2 and R.sub.5 excluding tertiary butyl; ARYL is 1-naphthyl, 2-naphthyl, 4-chloro-1-naphthyl, 4-methoxy-1-naphthyl, 5,6,7,8-tetrahydro-1-naphthyl, 5,6,7,8-tetrahydro-2-naphthyl, 4-biphenylyl, 5-indanyl, 4-indanyl, phenyl, or substituted phenyl moieties having the formula ##STR3## wherein R.sub.6 is fluoro, chloro, bromo, trifluoromethyl, cyano, phenoxy, halophenoxy, phenylthio, halophenylthio, a C.sub.1 -C.sub.4 lower alkyl or a C.sub.1 -C.sub.4 lower alkoxy, and R.sub.7 is chloro, fluoro, bromo, a C.sub.1 -C.sub.4 lower alkyl or a C.sub.1 -C.sub.4 lower alkoxy; and where m is an integer of zero, one or two; including individual optically active isomers; racemic mixtures thereof; non-toxic pharmacologically-acceptable salts of the foregoing; and mixtures of the foregoing. Processes of preparing such compounds are also described. Such compounds are useful in ameliorating hypertension in mammals.

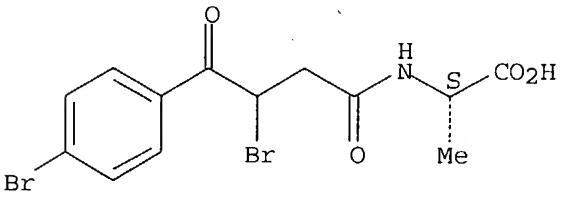
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **90471-90-2P**
(preparation and reaction of, with potassium thioacetate)
RN 90471-90-2 USPATFULL
CN L-Valine, N-[3-bromo-4-(4-bromophenyl)-1,4-dioxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **90471-92-4P**
(preparation and reaction of, with thioacetate)
RN 90471-92-4 USPATFULL
CN L-Alanine, N-[3-bromo-4-(4-bromophenyl)-1,4-dioxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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